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                  prophetic substances
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                  of publication
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 NEWS  8  JAN 28  MEDLINE and LMEDLINE reloaded with enhancements
 NEWS  9  FEB 08  STN Express, Version 8.3, now available
 NEWS 10  FEB 20  PCI now available as a replacement to DPCI
 NEWS 11  FEB 25  IFIREF reloaded with enhancements
 NEWS 12  FEB 25  IMSPRODUCT reloaded with enhancements
 NEWS 13  FEB 29  WPINDEX/WPIDS/WPIX enhanced with ECLA and current
                  U.S. National Patent Classification
 NEWS 14  MAR 31  IFICDB, IFIPAT, and IFIUDB enhanced with new custom
                  IPC display formats
 NEWS 15  MAR 31  CAS REGISTRY enhanced with additional experimental
                  spectra
 NEWS 16  MAR 31  CA/CAplus and CASREACT patent number format for U.S.
                  applications updated
 NEWS 17  MAR 31  LPCI now available as a replacement to LDPCI
 NEWS 18  MAR 31  EMBASE, EMBAL, and LEMBASE reloaded with enhancements
 NEWS 19  APR 04  STN AnaVist, Version 1, to be discontinued
 NEWS 20  APR 15  WPIDS, WPINDEX, and WPIX enhanced with new
                  predefined hit display formats

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              AND CURRENT DISCOVER FILE IS DATED 20 FEBRUARY 2008

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chain nodes :
6  7  9
ring nodes :
1  2  3  4  5
ring/chain nodes :
11
chain bonds :
4-11  5-9  6-7
ring bonds :
1-2  1-5  2-3  3-4  4-5
exact/norm bonds :
1-2  1-5  2-3  3-4  4-5  5-9  6-7
exact bonds :
4-11


G1:Cy,Hy

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:CLASS  7:CLASS  8:CLASS  9:CLASS  11:Any



L1      STRUCTURE UPLOADED

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L1              STR
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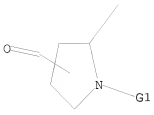

G1 Cy,Hy

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41.1% PROCESSED 1000000 ITERATIONS 535 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.28

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 2432103 TO 2432103
PROJECTED ANSWERS: 1193 TO 1409

L2 535 SEA SSS FUL L1

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=> s l2
L3          73 L2

=> d l3 53-73 ibib abs hitstr

L3   ANSWER 53 OF 73  CAPLUS  COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER:        2004:582909  CAPLUS
DOCUMENT NUMBER:         141:218310
TITLE:                   Insights into Phenylalanine Derivatives Recognition of
                         VLA-4 Integrin: From a Pharmacophoric Study to 3D-QSAR
                         and Molecular Docking Analyses
AUTHOR(S):               Macchiarulo, Antonio; Costantino, Gabriele; Meniconi,
                         Mirco; Pleban, Karin; Ecker, Gerhard; Bellocchi,
                         Daniele; Pellicciari, Roberto
CORPORATE SOURCE:        Dipartimento di Chimica e Tecnologia del Farmaco,
                         Universita di Perugia, Perugia, 06127, Italy
SOURCE:                  Journal of Chemical Information and Computer Sciences
                         (2004), 44(5), 1829-1839
                         CODEN: JCISD8; ISSN: 0095-2338
PUBLISHER:               American Chemical Society
DOCUMENT TYPE:           Journal
LANGUAGE:                English
AB   The very late antigen-4 (VLA-4), also known as integrin α4β1,
     is expressed on monocytes, T- and B-lymphocytes, basophils, and
     eosinophils and is involved in the massive recruitment of granulocytes in
     different pathol. conditions such as multiple sclerosis and asthma.  VLA-4
     interacts with its endogenous ligand VCAM-1 during chronic inflammation,
     and blockade of VLA-4 /VCAM-1 interaction is a potential target for
     immunosuppression.  Two classes of VLA-4 antagonists have so far been
     reported: β-amino acid derivs. containing a diaryl urea moiety (BIO-1211)
     and phenylalanine derivs. (TR-14035).  With the aim of clarifying the
     structural basis responsible for VLA-4 recognition by phenylalanine
     derivs., the authors developed a combined computational study on a set of
     128 antagonists available through the literature.  Our computational
     approach is composed of three parts. (i) A VCAM-1 based pharmacophore was
     constructed with a restricted number of phenylalanine derivs. to identify the
     region of the protein that resembles synthetic antagonists.  The
     pharmacophore was instrumental in constructing an alignment of a set of
     128 compds.  This alignment was exploited to build a pseudoreceptor model
     with the RECEPTOR program. (ii) 3D-QSAR anal. was carried out on the
     computed electrostatic and steric interaction energies with the
     pseudoreceptor surface.  The 3D-QSAR anal. yielded a predictive model able
     to explain much of the variance of the 128 antagonists. (iii) A homol.
     modeling study of the headpiece of VLA-4 based on the crystal structure of
     αvβ3 was performed.  Docking expts. of TR-14035 into the
     binding site of VLA-4 aided the interpretation of the 3D-QSAR model.  The
     obtained results will be fruitful for the design of new potent and
     selective antagonists of VLA-4.
IT   737804-72-7
     RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic
     use); BIOL (Biological study); USES (Uses)
        (3D-QSAR and mol. docking analyses of phenylalanine derivs. recognition
        of VLA-4 integrin)
RN   737804-72-7  CAPLUS
CN   L-Alanine, 2-methyl-1-(4-nitrophenyl)-L-prolyl-3-(2',6'-dimethoxy[1,1'-
     biphenyl]-4-yl)- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.
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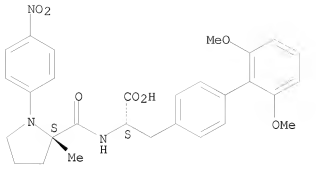


REFERENCE COUNT: 47 THERE ARE 47 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 54 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1966:59736 CAPLUS
DOCUMENT NUMBER: 64:59736
ORIGINAL REFERENCE NO.: 64:11149g-h,11150a-e
TITLE: 1,3-Cycloadditions of azomethinylides from aziridinecarboxylic esters
AUTHOR(S): Huisgen, Rolf; Scheer, Wolfgang; Szeimies, Guenter; Huber, Helmut
CORPORATE SOURCE: Univ. Munich, Germany
SOURCE: Tetrahedron Letters (1966), (4), 397-404
CODEN: TELEAY; ISSN: 0040-4039
DOCUMENT TYPE: Journal
LANGUAGE: German
GI For diagram(s), see printed CA Issue.
AB cf. Heine and Peavy, CA 63, 14796e. By a ring opening between the 2 and 3 positions, di-Me 1-(p-methoxyphenyl)aziridine-2,3-dicarboxylate (I) adds to C:C and C.tplbond.C compds. to give pyrrolidine or pyrroline derivs. Heating di-Me 1-(p-methoxyphenyl)-Δ2-1,2,3-triazoline-4,5-trans-dicarboxylate at 100° gives I as a 15:85 cis-trans mixture The reactions and epimerizations of I presumably proceed through the intermediate formation of epimers of MeO2CCH: N+(p-MeOC6H4)C-HCO2Me. Heating di-Me fumarate (II) and I at 140° yields 94% tetra-Me 1(p-methoxyphenyl)pyrrolidine-2,3,4,5-tetracarboxylate (III)containing an oily isomer (IIIa) and 59% of a crystalline isomer (IIIb), m. 112-13°. IIIa and IIIb are dehydrogenated by chloranil (IV) in boiling Decalin to give 21 and 22% yields, resp., of tetra-Me 1-(p-methoxyphenyl)pyrrole-2,3,4,5-tetracarboxylate, independently synthesized by the method of Huntress, et al. (CA 50, 12977b) from p-MeOC6H4NHOH and (MeO2CC.tplbond.)2. III is also prepared in 61% yield from II and p-MeOC6H4N3 at 100-140°. At 120°, I and [(EtO2C)2CH:]2 give 77% of 2,5-di-Me 3,3,4,4-tetra-Et 1-(p-methoxyphenyl)pyrrolidine-2,3,3,4,4,5-hexacarboxylate containing 65% of the cis form, m. 114-15°, and 35% of the trans form, m. 114-16°,separated on silica gel by 9:1 C6H6-Et2O. The addition of norbornene to I at 100° gives 94% V containing 63% cis form (V, R = CO2Me, R1 = H), an oil, and 37% trans form (V, R = H, R1 = CO2Me), m. 87-9°, separated by thin layer chromatography. IV in boiling cymene converts V to VI, m. 161-2°. At 125°, I combines with HC.tplbond.CH in Me2CO to give an 81% yield of adducts, presumably a mixture of Δ2- and Δ3-pyrrolines which are dehydrogenated by IV in boiling xylene to give a 68% yield of di-Me 1-(p-methoxyphenyl)pyrrole-2,5-dicarboxylate, identical to the product obtained from p-MeOC6H4NH2 and di-Me α,α'-dihydroxymuconate (Kuhn and Dury, CA 45, 7017a). BzC.tplbond.CPh and I at 100° yield 93% of an adduct dehydrogenated by IV in PhMe to give 55% di-Me ester of 3-benzoyl-1-(p-methoxyphenyl)-4-

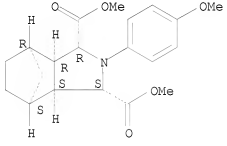
phenylpyrrole-2,5-dicarboxylic acid (VII). VII decarboxylates at 200° to give 3-benzoyl-1-(4-methoxyphenyl)-4-phenylpyrrole (VIII), characterized by its 2,4-dinitrophenylhydrazone. VIII is also prepared by condensing the Na derivative of BzCH2CHO with p-MeOC6H4NHCH2Bz, and cyclizing the product with concentrated H2SO4. Photochem. or thermally (150°), I dimerizes to give a mixture from which two isomers, m. 188-9° and 240-1°, of tetra-Me 1,4-bis(p-methoxyphenyl)piperazine-2,3,5,6-tetracarboxylate have been isolated. Heating Me 1-phenylaziridine-2-carboxylate (IX) 6 hrs. at 200° gave 50% of the di-Me ester of 1,4-diphenylpiperazine-2,3-trans-dicarboxylic acid (X), m. 132-3°, and 5% of the cis ester, m. 105-6°. Distillation of Ca salt of X yields (PhNHCH2)2 and 1,4-diphenylpiperazine. The reaction of IX with trans-(BzCH:)2 (XI) gives a 1:1 adduct, m. 120-1°, and with PhCH:NMe, an adduct, m. 132.5-4° (structures not given). The addition of 1-benzyl-2,3-trans-dibenzoylaziridine to XI gives 34% of 1-benzyl-2,3,4,5-(all-trans)-tetrabenzoylpyrrolidine.

IT 875613-01-7P, 4,7-Methanoisoindoline-1,3-dicarboxylic acid, hexahydro-2-(p-methoxyphenyl)-, dimethyl ester, cis-
RL: PREP (Preparation)
(preparation of)

RN 875613-01-7 CAPLUS

CN INDEX NAME NOT YET ASSIGNED

Relative stereochemistry.



L3 ANSWER 55 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1956:64500 CAPLUS

DOCUMENT NUMBER: 50:64500

ORIGINAL REFERENCE NO.: 50:12020g-i

TITLE: Synthesis of spasmolytic substances. X. Synthesis of some alkylamino esters of pyrrolidine-2,5-dicarboxylic acid

AUTHOR(S): Klosa, Josef

SOURCE: Arch. Pharm. (1955), 288, 72-4

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

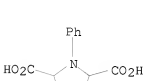
AB cf. C.A. 49, 8274d. Adipic acid (100 g.) and 200 cc. SOCl2 heated 2 hrs. on the steam bath, the volatile products removed in vacuo, the residual oily product brominated at 50° under ultraviolet light with 200 g. of Br and the product allowed to stand 24 hrs. with 700 cc. absolute EtOH gave 90 g. di-Et 2,5-dibromoadipate (I), m. 65-7° (from benzene). Di-Me 2,5-dibromoadipate, prepared similarly, m. 75-7°. I (10 g.) and 30 g. aniline refluxed 18 hrs. in 100 cc. benzene, and fractionally distilled gave 7 g. di-Et 1-phenyl-2,5-pyrrolidinedicarboxylate (II), b2 230-40°. The di-Me ester, b2 250-60°, m. 85-7°, and di-Et 1-butyl-2,5-pyrrolidinedicarboxylate, b2 156-8°, were prepared similarly. II (20 g.) refluxed 24 hrs. with 100 g. Et2NCH2CH2OH, and the mixture fractionally distilled gave 12 g. of 1-phenyl-2,5-pyrrolidinedicarboxylic acid di(β-diethylaminoethyl) ester, bl

193-5°. The following di(β-diethylaminoethyl) esters of 2,5-pyrrolidinedicarboxylic acid were prepared similarly: 1-butyl, 60%, bl 168-9°; 1-methyl, 43%, bl 174-7°; 1-benzyl, 52%, bl 200-3°; and 1-propyl, 35%, bl 167-70°.

IT 859957-82-7, 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl- (esters)

RN 859957-82-7 CAPLUS

CN 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl- (CA INDEX NAME)



L3 ANSWER 56 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1956:20042 CAPLUS

DOCUMENT NUMBER: 50:20042

ORIGINAL REFERENCE NO.: 50:4125d-i,4126a-b

TITLE: 2,3-Pyrrolidinediones. IV. Further studies on tautomerism

AUTHOR(S): Vaughan, Wyman R.; McCane, Donald I.

CORPORATE SOURCE: Univ. of Michigan, Ann Arbor

SOURCE: Journal of Organic Chemistry (1955), 20, 143-54 CODEN: JOCEAH; ISSN: 0022-3263

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 50:20042

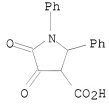
AB cf. C.A. 48, 3340i. Addnl. evidence has been presented that 1,5-diaryl-2,3-pyrrolidinediones are tautomeric with α-arylimino-β-arylidenepropionic acids and that it is the latter which undergo thermal decarboxylation. Refluxing 14.4 g. 1,4-diphenylazetidinone overnight in 125 cc. MeOH saturated with HCl, evaporating the mixture in vacuo, and treating the residue with H2O and a little NaHCO3 give 86.5% Me βphenyl-β-phenylaminopropionate, needles, m. 105-6°, which (5.1 g.) is treated in 50 cc. dry (CH2Cl)2 containing 15 cc. C5H5N 3 hrs. at 20° with 3 cc. MeO2CCOCl, the mixture is diluted with 50 cc. Et2O, and the residue of the washed (H2O, 5% HCl-H2O) and dried Et2O solution evaporated, giving 95% Me β-phenyl-β-(N-methoxalyl-N-phenylamino)-propionate (I), m. 75.5-6°. Adding MeONa (from 0.07 g. Na) in 20 cc. absolute MeOH to 0.9 g. I, keeping the mixture 4 hrs., neutralizing it with the calculated amount of AcOH in 50 cc. H2O, and extracting with Et2O give 0.5 g. 4-carbomethoxy-1,5-diphenyl-2,3-pyrrolidinedione (II), m. 196-9° (decomposition); it gives a deep red color with FeCl3. Adding 2 g. Me methoxalylacetate to 50 cc. Et2O containing 2 g. PhCH:NPh and evaporating the filtered solution give II. Refluxing a sample of the Et ester of II in PhNO2 gives 1 mole CO2 and 1,5-diphenyl-2,3-pyrrolidinedione (III). Heating 22.5 g. CuCl4N with 37 g. AcBr 2 hrs. at 70-80° gives 54.3% MeCOCl4N, b. 87-91°, which (9 g.) is treated at 0° with 12.5 cc. concentrated HCl, and the mixture diluted with 40 cc. H2O and heated 2 hrs. at 70°, giving 38% MeCOCl4O2H (IV), b18 40-60°. Adding 1 g. IV to 5 cc. 10% NaOH, then adding at 0° 1.2 g. BzH and, dropwise (10 min.), 3 cc. 10% NaOH, and stirring the mixture 50 min. with the temperature kept below 12° give 0.9 g. PhCH:CHCOCl4O2Na which, dissolved in 20 cc. ice H2O, is decomposed with 25 drops concentrated HCl in 10 cc. ice-H2O, giving

47% PhCH: CHCOC14O2H (V), m. 68-9°. Adding 0.36 g. PhNH2 in 5 cc. absolute EtOH dropwise to 0.67 g. V in 15 cc. absolute EtOH, stirring the mixture 0.5 hr. at 20°, diluting it with 25 cc. EtOH, and refluxing it 1 hr. give 80% III-2-C14 (VI), m. 158-60° (decomposition). o-C6H4(CO)2N15H is converted into PhN15H2 which, with PhCH:CHCOCO2H, gives III-1-N15 (VII). Refluxing 2.51 g. VII in 25 cc. absolute EtOH 0.5 hr. with 1.1 g. PhNHNH2 in 10 cc. absolute EtOH and 4 drops AcOH, keeping the mixture 12 hrs. at 20%, refluxing it another hr., and diluting the cooled solution with 70 cc. H2O give 79% inactive 1-anilino-5-pheny1-2,3-pyrrolidinedione (VIII), m. 154-5° (decomposition); the mother liquor is extracted with C6H6 and HCl is passed into the extract, giving PhN15H2.HCl (IX). Treating III with PhNHN15H2 (X) (prepared from PhNH2 and KN15O3) gives 75% active VIII, m. 154.5-6° (decomposition). For the collecting of N for mass spectrometric analysis 0.39 g. PhNH2.HCl, 6 g. 50% H3PO2, and 10 cc. H2O are treated at 5° with 0.21 g. NaNO2 in 10 cc. H2O. The decarboxylation of VI is carried out by heating 0.251 g. in 20 cc. o-C6H4C12 1 hr. at 180° (bath temperature), absorbing the CO2 in 1N NaOH by means of a CO2-free N stream, and precipitating it as BaCO3. This gives BaC14O3 with 94.9% of the starting C14, indicating that VI is thermally decarboxylated to cinnamylideneaniline and C14O2 by initial rearrangement to the isomeric 3-arylidene-2-arylaminopropionic acids. In the reaction of III with X active VIII is formed by an exchange between tautomeric arylimino acid and X.

IT 873399-08-7, 3-Pyrrolidinecarboxylic acid, 4,5-dioxo-1,2-diphenyl-, (esters)

RN 873399-08-7 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4,5-dioxo-1,2-diphenyl- (CA INDEX NAME)



L3 ANSWER 57 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1955:46203 CAPLUS

DOCUMENT NUMBER: 49:46203

ORIGINAL REFERENCE NO.: 49:8908f-i,8909a-b

TITLE: Pyrrolidine esters

AUTHOR(S): Van Heyningen, Earle M.

CORPORATE SOURCE: Eli Lilly & Co., Indianapolis, IN

SOURCE: Journal of the American Chemical Society (1954), 76, 3043-4
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable

OTHER SOURCE(S): CASREACT 49:46203

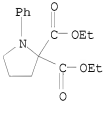
AB The condensation of 1,3-dibromoalkanes with an aminomalonate in refluxing EtOH with NaOEt yielded the corresponding 2,2-dialkoxypyrrolidines in fair yields. The yields could be improved considerably by effecting the cyclization in a nonhydroxylic solvent using NaNH2. Na (14.1 g.) dissolved in 350 cc. absolute EtOH, the solution treated with 107 g. H2NCH(CO2Et)2 and then with 246.5 g. Br(CH2)3Br in large portions, the mixture refluxed 4 hrs., the EtOH removed in vacuo, the residue dissolved in dilute HCl, the acid solution extracted with Et2O to recover the unreacted Br(CH2)3Br (90 g.), basified with dilute aqueous NaOH, and extracted with Et2O, and

the extract dried and distilled yielded 28.8 g. (22%) 2,2-dicarbethoxypyrrolidine (II), b2 105°, n25D 1.4455. II in Et2O treated with dry HCl gave II.HCl, white needles, m. 91-2° (from Et2O-CHCl3). I (135.5 g.) and then 357 g. (MeCHBr)2CH2 (III) added to 18 g. Na in 450 cc. absolute EtOH, and the mixture refluxed 12 hrs. and worked up in the usual manner gave 250 g. recovered III and 66.3 g. (35.6%) 3,5-di-Me derivative (IV) of II, b1 91-4°, n25D 1.4447. NaNH2 prepared from 36 g. Na in 1 l. liquid NH3, the NH3 evaporated and replaced by 500 cc. dry C6H6 which was refluxed to remove traces of NH3, the mixture treated with 136.7 g. I, gently warmed to remove all of the NH3 while being bubbled with dry N, treated dropwise with stirring with 200 g. III, refluxed 26 hrs., cooled, diluted with H2O, and extracted with C6H6, and the extract washed and distilled yielded 128.2 g. (67.7%) IV, b0.76 89-93°, n25D 1.4455. IV in dry Et2O treated with dry HCl gave IV.HCl, m. 105-7° (from CHCl3-Et2O). IV (66.3 g.) added to a suspension of NaNH2 (from 6.45 g. Na in liquid NH3) in 200 cc. PhMe, and the mixture heated 15 hrs. and worked up in the usual manner gave 29.8 g. (42.5%) IV, b0.8-1.1 99-105°, n25D 1.4515. NaNH2 (from 4.6 g. Na) suspended in 200 cc. PhMe and treated with 25.3 g. PhNHCH(CO2Et)2, the NH3 removed, the residual mixture treated with 20.1 g. Br(CH2)3Br and refluxed gave similarly 8.0 g. (27.5%) 1-Ph derivative of II, b0.6 145°, n25D 1.5132. NaNH2 (from 27.6 g. Na) suspended in 700 cc. PhMe treated with 100 g. NC(AcNH)CHCO2Et, the NH3 removed, and the mixture treated with 121.5 g. Br(CH2)3Br and worked up in the usual manner yielded 54.0 g. (43.5%) 1-acetyl-2-carbethoxy-2-cyanopyrrolidine (V), b1 163°, n25D 1.4765. V (15 g.) refluxed 8 hrs. with 9.65 g. guanidine carbonate in EtOH containing NaOEt, and the liquid mixture decanted into H2O gave 9 g. spiro[1-acetylpyrrolidine-2,5'-(2,4-diiminobarbituric acid)], m. 311-12° (from H2O).

IT 860360-20-9P, 2,2-Pyrrolidinedicarboxylic acid, 1-phenyl-, diethyl ester
RL: PREP (Preparation)
(preparation of)

RN 860360-20-9 CAPLUS

CN 2,2-Pyrrolidinedicarboxylic acid, 1-phenyl-, 2,2-diethyl ester (CA INDEX NAME)



L3 ANSWER 58 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1954:60443 CAPLUS

DOCUMENT NUMBER: 48:60443

ORIGINAL REFERENCE NO.: 48:10733g-i,10734a-i,10735a-b

TITLE: The condensation of oxalic esters with esters of β-alanine and N-substituted 3-aminopropionic acids. Synthesis of some derivatives of 2,3-dioxopyrrolidine and 2-oxo-3-methoxy-3-pyrroline

AUTHOR(S): Southwick, Philip L.; Crouch, Robert T.

CORPORATE SOURCE: Carnegie Inst. of Technol., Pittsburgh, PA

SOURCE: Journal of the American Chemical Society (1953), 75, 3413-17
CODEN: JACSAT; ISSN: 0002-7863

DOCUMENT TYPE: Journal

LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 48:60443

AB The condensation of (CO2Me)2 or (CO2Et)2 with a series of N-substituted 3-aminopropionic acid esters yielded a series of 1-substituted 4-carbomethoxy- and 4-carbethoxy-2,3-dioxopyrrolidines (I), converted into 4-carbalkoxy-2-oxo-3-methoxy-3-pyrrolines by treatment with CH2N2. The 4-carbalkoxy-1-benzyl-2,3-dioxopyrrolidines were hydrolyzed and decarboxylated to 1-benzyl-2,3-dioxopyrrolidine (II). Cyclohexylamine (49.5 g.) and 43 g. freshly distilled CH2:CHCO2Me (III) in 400 cc. absolute MeOH let stand 24 hrs., the solvent distilled off, and the residual oil fractionated gave 83 g. (90%) Me 3-(cyclohexylamino)propionate, b4-5 125-8°, giving in dry C6H6 with dry HCl the HCl salt, white needles, m. 163-4° (from MeOH). Similarly was prepared the corresponding Et ester (IV), b3-5 133-5°, 85%; [HCl salt, colorless needles, m. 145-6° (from absolute EtOH)], and Me 3-(benzylamino)propionate (V), b7 145-7° 92%; [HCl salt, white plates, m. 164-5° (from MeOH)]. p-MeC6H4NH2 (35.3 g.), 28.4 g. III, 40 cc. dry C6H6, and 10 drops SnCl4 refluxed 24 hrs. yielded 42.5 g. (67%) p-MeC6H4NH(CH2)2CO2Me, b5-6 145-50°, m. 60-1°, light yellow plates from C6H6-petr. ether. p-MeC6H4NH2 (53.5 g.), 50 g. freshly distilled CH2:CHCO2Et, and 20 g. glacial AcOH refluxed 24 hrs., and the resulting deep red solution distilled gave 52 g. (50%) p-MeC6H4NH(CH2)2CO2Et, b2-3 142-4°; HCl salt, white needles, m. 92-3° (from absolute EtOH). Similarly was prepared PhNH(CH2)2CO2Et, 63%, b1-2 139-46°; HCl salt, m. 98-9°. To 5.4 g. NaOMe in 50 cc. dry Et2O was added with stirring 11.8 g. (CO2Me)2 and then 19.3 g. V in 50 cc. Et2O, the mixture refluxed 0.5 hr. with stirring, the Et2O distilled off, the residual salt taken up in 500 cc. warm H2O, the mixture acidified with dilute HCl, let stand several hrs., filtered, and the residue recrystd. from MeOH to yield 18 g. (75%) 4-carbomethoxy-1-benzyl-2,3-dioxopyrrolidine (VI), white needles, m. 180-2° [recrystd., m. 183-4° (from MeOH)]. Similarly were prepared the following 1-substituted-4-carbomethoxy-2,3-dioxopyrrolidines (1-substituent, % yield, and m.p. given): p-MeC6H4, colorless needles, 45, 193-4° (from MeOH); m-ClC6H4, colorless needles, 29, 185-6° (from MeOH); p-MeOC6H4, yellow needles, 30, 209-10° (from MeOH); cyclohexyl, colorless needles, 29, 178-9° (from MeOH); and 1-phenyl-4-carbethoxy-2,3-dioxopyrrolidine, colorless needles, 48, 153° (from EtOH). Et 3-(cyclohexylamino)propionate (19.9 g.) and 14.6 g. (CO2Et)2 refluxed 1 hr. on the steam bath with 6.8 g. NaOEt, the resulting solid filtered off, washed with Et2O, suspended in 500 cc. warm H2O, acidified, let stand several hrs., filtered, and the filter residue recrystd. from 95% EtOH yielded 16.5 g. (65%) 1-cyclohexyl-4-carbethoxy-2,3-dioxopyrrolidine, white needles, m. 185-6° (recrystd., m. 188°). Similarly were prepared the following 1-substituted-4-carbethoxy-2,3-dioxopyrrolidines (1-substituent, % yield, and m.p. given): p-MeC6H4 (VII), colorless needles, 52, 156° (from EtOH); m-ClC6H4, colorless needles, 37, 142-3° (from EtOH); p-MeOC6H4, yellow needles, 55, 160-1° (from EtOH); and PhCH2, (VIII), colorless needles, 75, 135-6° (from EtOH). PhNH(Ph)CHCH2CO2Et (10.3 g.) and 6.1 g. (CO2Et)2 in 25 cc. absolute EtOH added to 2.8 g. NaOEt in 50 cc. absolute EtOH, the EtOH distilled off on the steam bath, the light tan liquid residue dissolved in 300 cc. warm H2O, the solution acidified with 20% HCl, and the light tan solid precipitate recrystd. from EtOH gave 9 g. (73%) 4-carbethoxy-1,5-diphenyl-2,3-dioxopyrrolidine, white needles, m. 172-4°. Similarly was prepared 4-carbethoxy-2,3-dioxopyrrolidine, white plates, 72%, m. 185-6° (from EtOH) preheated to 175°. VII (2 g.) in 10 cc. Et2O treated with excess CH2N2 in Et2O, the excess CH2N2 destroyed with AcOH, the Et2O evaporated, and the residual light yellow oil recrystd. from EtOH gave 2 g.

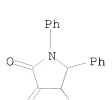
(95%) 4-carbethoxy-1-p-tolyl-3-methoxy-2-oxo-3-pyrroline, colorless needles, m. 82-3° (from EtOH). Similarly were prepared the following 1-substituted-4-carbethoxy-2-oxo-3-methoxy-3-pyrrolines (1-substituent, % yield, and m.p. given): m-ClC6H4, colorless needles, 91, 140-1° (from EtOH); p-MeOC6H4, colorless needles, 95, 109-10° (from EtOH); cyclohexyl, colorless needles, 91, 86-7° (from EtOH); Ph, colorless needles, 95, 76-7° (from EtOH); H, colorless needles, 74, 105-6° (from MeOH); and the following 1-substituted-4-carbomethoxy-2-oxo-3-methoxy-3-pyrrolines: p-MeC6H4, colorless needles, 95, 141-2° (from MeOH); m-ClC6H4, colorless needles, 86, 115-16° (from MeOH); p-MeOC6H4, light yellow needles, 94, 133-4° (from MeOH); cyclohexyl, colorless needles, 95, 103-4° (from MeOH); PhCH2, colorless needles, 95, 77-8° (from MeOH).

Ph(MeO2CCO)NCH(Ph)CH2CO2Me (5 g.) in 50 cc. dry MeOH treated with 0.81 g. NaOMe in 25 cc. MeOH, and the precipitated white gelatinous Na salt filtered off and triturated with AcOH gave 1 g. PhNHCOCO2Me, m. 111-12°; the filtrate from the Na salt evaporated to dryness, the residual solid extracted with H2O, the aqueous extract acidified, and the resulting precipitate recrystd. from MeOH gave 0.4 g. (9%) 4-carbomethoxy-1,5-diphenyl-2,3-dioxopyrrolidine, m. 199-200°; the residue (0.3 g.) from the H2O extraction was PhCH:CHCO2Me, m. 32-3°. VIII (2 g.) and 150 cc. 20% HCl refluxed 3 hrs., the mixture cooled, filtered, extracted several times with CHCl3, the CHCl3 evaporated, and the light tan oily residue crystallized from C6H6 gave 1.2 g. (83%) 1-benzyl-2,3-dioxopyrrolidine, white needles, m. 99-100°, also obtained in 69% yield from VI by a similar hydrolysis. PhCH2NH(CH2)2CO2Et (30 g.) and 150 cc. 10% NaOH refluxed until the ester layer disappeared, the solution neutralized with dilute HCl, evaporated to dryness, the white solid residue extracted with boiling absolute EtOH, and the extract cooled gave 22.5 g. (87%) PhCH2NH(CH2)2CO2H (IX), white needles, m. 182-3°. IX (5 g.) and 10 g. MeO2CCOCl heated 0.5 hr. on the steam bath, the solution diluted with 100 cc. Et2O, washed with H2O, extracted with excess 5% aqueous NaHCO3, the alkaline extract acidified, the precipitated light yellow oil let stand, and the resulting solid recrystd. from CHCl3-petr. ether yielded 5 g. (68%) Ph-CH2N(COCO2Me)CH2CH2CO2H (X), white plates, m. 99-100°. X (8 g.) and 8 g. MeO2CCOCl in 25 cc. anhydrous CHCl3 treated with 8 g. pyridine, the solution let stand 2 hrs., washed with H2O, heated on the steam bath with 400 cc. 5% aqueous NaHCO3, the aqueous extract decolorized with C, filtered, the filtrate acidified, an unidentified precipitated acid (XI) (2.2 g.) filtered off, the filtrate extracted with CHCl3, and the extracted evaporated gave 0.5 g. 1-benzyl-2-oxo-3-methoxy-3-pyrroline-4-carboxylic acid, m. 139-40° (from CHCl3-petr. ether), esterified with CH2N2 to VI, m. 77-8°. XI dissolved in aqueous KOH, repptd. with HCl, and recrystd. from aqueous EtOH gave small pale yellow plates, m. 245-6°.

IT 873399-08-7, 3-Pyrrolidinecarboxylic acid, 4,5-dioxo-1,2-diphenyl-, (esters)

RN 873399-08-7 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4,5-dioxo-1,2-diphenyl- (CA INDEX NAME)



L3 ANSWER 59 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1953:25510 CAPLUS
DOCUMENT NUMBER: 47:25510
ORIGINAL REFERENCE NO.: 47:4373g-i,4374a-e
TITLE: Amino esters of 1-substituted 2,5-pyrrolidinedicarboxylic acids
INVENTOR(S): Hill, Arthur J., Jr.; Maynard, John T.
PATENT ASSIGNEE(S): American Cyanamid Co.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

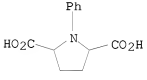
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2596099		19520513	US 1946-719108	19461228

AB Dialkyl dibromoadipates with primary amines give esters of 1-substituted 2,5-pyrrolidinedicarboxylic acids, and the products undergo ester interchanges with tertiary amino alcs. according to the following processes. PhNH2 3.5 refluxed with meso-(MeO2CCHBrCH2)2 1 mole gives 68% di-Me 1-phenyl-2,5-pyrrolidinedicarboxylate, b27 220-30°, m. 88°. A solution of BuNH2 3 and meso-(EtO2CCHBrCH2)2 (I) 1 mole in C6H6 allowed to heat spontaneously, refluxed to complete the reaction, treated with a little Et2O, freed of salt, extracted with 6 N H2SO4, the extract neutralized with Na2CO3, and the oil taken up in Et2O and distilled give 60% 1-butyl-2,5-dicarbethoxypyrrolidine, b1-2 155-6°, nD20 1.4514. PhNH2 327, I 360, and KI 5 parts are warmed gently to initiate a violent reaction, then heated at 85-90°, the product suspended in 6 N HCl, extracted with Et2O, and the exts. washed, dried, filtered, and distilled to give 90% di-Et 1-phenyl-2,5-pyrrolidinedicarboxylate, b0.5 144°, nD21.5 1.5230. 1 30, cyclohexylamine (II) 25, pulverized KI 1, and C6H6 75 parts let stand several hrs., refluxed, cooled, the mixture filtered, extracted with 70 cc. of 6 N H2SO4, the extract neutralized with Na2CO3, and the oil taken up in Et2O and distilled give 85.5% 1-cyclohexyl-2,5-dicarbethoxypyrrolidine (III), b1 133°, nD20 1.4738. 0Similarly, I 1, II 1, pulverized K2CO3 1 mole, and a little KI in 9 hrs. give 50% III; extending the reaction time to 52 hrs. gives 54% III. Other 1-substituted-2,5-dicarbethoxypyrrolidines prepared are: Me, b23 158-63°, nD20 1.4595; Et, b3-4 119-21°, nD20 1.4530; Pr, b5 130-1°, nD20 1.4503; iso-Bu, b1-1.5 115-16°, nD20 1.4498; hexyl, b1 117°, nD20 1.4529; benzyl, b1 138°, nD20 1.5042; 2-methoxyethyl, b1 108-9°, nD20 1.4550; phenethyl, b1 154-5°, nD20 1.5026; 2-acetamidoethyl, b1 168°, nD20 1.4657; Ph(alkyl-methyl)(?), m. 88°; o-tolyl, b0.5 129-30°, nD20 1.5108; m-tolyl, b0.5 136-7°, nD21.5 1.5215; p-tolyl, b0.5 164-5°, nD21.5 1.5226; p-ClC6H4 b0.5 158°, nD21.5 1.5342; 2,4-Me2C6H3, b0.5 135-6°, nD21.5 1.5081; 3,5-Me2C6H3, b0.5 145-6°, nD21.5 1.5170; p-Ac-NHC6H5, decomposition 136°, nD21.5 1.5417; p-AcC6H4, decompose 136°, nD21.5 1.5459. Na 1 in Et2NCH2CH2OH (IV) 250 and the product

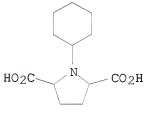
heated at 160-70° with 1-methyl-2,5-dicarbethoxypyrrolidine 53 parts gives 41% bis(2-diethylaminoethyl) 1-methyl-2,5-pyrrolidinedicarboxylate (V), bl 172-81°, nD20 1.4690. Similarly prepared are the 1-Et analog of V, bl 168.5-9° nD20 1.4678 (HCl salt, too hygroscopic to determine the m.p.); bis(3-diethylaminopropyl) 1-butyl-2,5-pyrrolidine-dicarboxylate (VI), bl 186°, nD20 1.4619; 60% of the 1-cyclohexyl analog of VI, bl 203-4°, nD20 1.4803; bis[2-(4-morpholinyl)ethyl] 1-butyl-2,5-pyrrolidinedicarboxylate; and the 1-benzyl analog of V, b0.5 196-8°, nD20 1.4985. Na 1.5 dissolved in IV 540 and the product heated at 165° with 1-phenyl-2,5-dicarbethoxypyrrolidine 100 parts gives the 1-Ph analog of V, b0.5 189-91°, nD21.5 1.5121. Similarly prepared are the following analogs of V: p-tolyl, b0.5 175-8°,nD21.5 1.5144; 2,4-Me2C6H3, bl 187-90°, nD21.5 1.5023; Pr, b0.2 154-5°, nD20 1.4660; Bu, bl 169-70°, nD20 1.4664; iso-Bu, bl 155-6°, nD20 1.4656; hexyl, bl 179-80°, nD20 1.4668; cyclohexyl, bl 198°, nD20 1.4820; MeOCH2CH2, bl 175-7°, nD20 1.4695; PhCH2CH2, bl 206°, nD20 1.5002; o-tolyl, b0.5 180°, nD21.5 1.5035; m-tolyl, b0.5 195-6°, nD21.5 1.5113; p-ClC6H4, bl 207-8°, nD21.5 1.5203; and 2,5-Me2C6H3, b0.5 198-200°, nD21.5 1.5092. .The compds. prepared are useful as local anesthetics and antispasmodics.

IT 859957-82-7, 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl-
860360-08-3, 2,5-Pyrrolidinedicarboxylic acid, 1-cyclohexyl-
860360-10-7, 2,5-Pyrrolidinedicarboxylic acid, 1-(p-chlorophenyl)-
860360-52-7, 2,5-Pyrrolidinedicarboxylic acid, 1-(2,4-xylyl)-
860360-54-9, 2,5-Pyrrolidinedicarboxylic acid, 1-p-tolyl-
860360-56-1, 2,5-Pyrrolidinedicarboxylic acid, 1-o-tolyl-
860360-58-3, 2,5-Pyrrolidinedicarboxylic acid, 1-m-tolyl-
(esters)

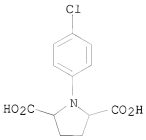
RN 859957-82-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl- (CA INDEX NAME)



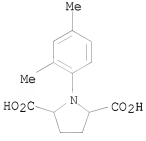
RN 860360-08-3 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-cyclohexyl- (CA INDEX NAME)



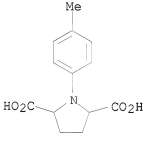
RN 860360-10-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-chlorophenyl)- (CA INDEX NAME)



RN 860360-52-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(2,4-dimethylphenyl)- (CA INDEX NAME)



RN 860360-54-9 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-methylphenyl)- (CA INDEX NAME)



RN 860360-56-1 CAPLUS
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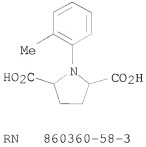
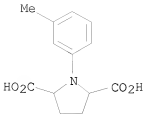
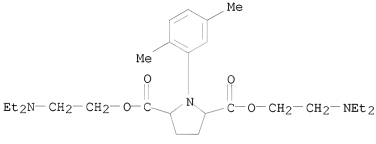


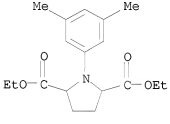
RN 860360-58-3 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(3-methylphenyl)- (CA INDEX NAME)



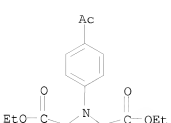
IT 857760-50-0P, 2,5-Pyrrolidinedicarboxylic acid, 1-(2,5-xylyl)-, bis(2-diethylaminoethyl) ester 857760-52-2P, 2,5-Pyrrolidinedicarboxylic acid, 1-(3,5-xylyl)-, diethyl ester 860360-12-9P, 2,5-Pyrrolidinedicarboxylic acid, 1-(p-acetylphenyl)-, diethyl ester 860360-14-1P, 2,5-Pyrrolidinedicarboxylic acid, 1-(p-acetamidophenyl)-, diethyl ester
RL: PREP (Preparation)
(preparation of)
RN 857760-50-0 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(2,5-dimethylphenyl)-, 2,5-bis[2-(diethylamino)ethyl] ester (CA INDEX NAME)



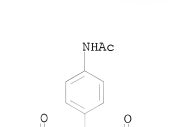
RN 857760-52-2 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(3,5-dimethylphenyl)-, 2,5-diethyl ester (CA INDEX NAME)



RN 860360-12-9 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-acetylphenyl)-, 2,5-diethyl ester (CA INDEX NAME)



RN 860360-14-1 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-[4-(acetylamino)phenyl]-, 2,5-diethyl ester (CA INDEX NAME)



L3 ANSWER 60 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1949:46441 CAPLUS
DOCUMENT NUMBER: 43:46441
ORIGINAL REFERENCE NO.: 43:8380b-f
TITLE: Ring closure of N-alkoxalyl-β-anilinopropionic acids
AUTHOR(S): Southwick, Philip L.; Seivard, Louis L.
SOURCE: Journal of the American Chemical Society (1949), 71, 2532-8
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 43:46441

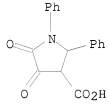
AB KO2CCO2Me and SOC12 (1 mol. each) give 63% MeO2CCOCl (I); EtO2CCOCl (II) results in 59% yield. PhNH2 (3 mols.) in 75 ml. AcOH, treated (1 hr.) with 3 mols. CH2:CHCO2Me, gives 57% PhNHCH2CH2CO2Me; KOH in MeOH gives 65% of the acid (III). PhNHCHPhCH2CO2H and twice its weight of I, heated 0.5 hr. on the steam bath, give 85% N-methoxalyl-β-anilino-β-phenylpropionic acid (IV), m. 127-8° (m.ps. corrected) (Me ester, m. 75-7°, 83%); N-ethoxalyl homolog (V), m. 111-13°, 78%. N-Ethoxalyl-β-anilinopropionic acid (VI), m. 91-2°, 58%; N-ethoxalyl-β-amino-β-phenylpropionic acid (VII), m. 116-18°, 43%. IV and an equal weight of I or II in 2 ml. CHCl3 per g. IV (dioxane can be used as the solvent), treated with C5H5N equal to the weight of the acid, kept 30 min. at room temperature, diluted with 5 vols. CHCl3, shaken 2 hrs. with an equal volume of H2O, and the CHCl3 layer extracted with excess 5% NaHCO3 and precipitated with 5% HCl, give 26% 1,5-diphenyl-2-keto-3-methoxy-3-pyrroline-4-carboxylic acid (VIII), m. 196-8°; Me ester, m. 128.5-30°; Et ester, m. 83-5°. V yields 32% of the 3-EtO analog (IX), m. 214-15°; Me ester (X), m. 91-2°; 3 g. V in 7

cc. Ac2O and 7 g. C5H5N, heated 3 hrs. on the steam bath, gives 10% IX; no reaction occurs with Ac2O or C5H5N alone. VI yields 15% 1-phenyl-2-keto-3-ethoxy-A3-pyrroline-4-carboxylic acid (XI), m. 189-91°; Me ester (XII), m. 75.5-7°. III and II in the presence of C5H5N give XI; in the absence of C5H5N, the product is VI. PhCH:NPh and MeO2CCOCH2CO2Et give 66% Me 1,5-diphenyl-2,3-pyrrolidinedione-4-carboxylate (XIII), m. 201-3°; MeCHN2 gives the Et ester. PhNHCH2CH2CO2Me (7.2 g.) and 4.8 g. (CO2Me)2 in 50 ml. ether, treated (15 min.) with 2.5 g. MeONa in 50 ml. ether and refluxed 2 hrs., give 38% Me 1-phenyl-2,3-pyrrolidinedione-4-carboxylate, m. 185-7°; MeCHN2 gives XII. The Et ester corresponding to XIII and CH2N2 give the Et ester of VIII. A mechanism is proposed for the ring closure reaction which relates it to the Perkin reaction and to the Claisen condensation.

IT 873399-08-7, 3-Pyrrolidinecarboxylic acid, 4,5-dioxo-1,2-diphenyl- (esters)

RN 873399-08-7 CAPLUS

CN 3-Pyrrolidinecarboxylic acid, 4,5-dioxo-1,2-diphenyl- (CA INDEX NAME)



L3 ANSWER 61 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1947:1129 CAPLUS

DOCUMENT NUMBER: 41:1129

ORIGINAL REFERENCE NO.: 41:213h-i,214a

TITLE: Pharmacological activity of basic alkyl esters of substituted pyrrolidine dicarboxylic acids. II. Action on intestine, uterus, and blood pressure

AUTHOR(S): Loomis, Ted. A.; Schaffer, Norwood K.

CORPORATE SOURCE: Yale Univ.

SOURCE: Yale Journal of Biology and Medicine (1946), 18, 171-84
CODEN: YJBMAU; ISSN: 0044-0086

DOCUMENT TYPE: Journal

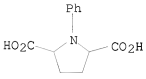
LANGUAGE: Unavailable

AB Fourteen of these compds. II, III, IV, V, VI, VII, VIII, X, XI, XII, XIII, XIV, XV, and XVI produced a spasmodic response in the circular muscle of the intact duodenum of the cat. The response of 15 mg./kg. of the most active was equivalent to 2 mg./kg. of BaCl2. I, II, III, IV, V, VI, VIII, IX, XIV, XV, and XVI produced a spasmodic response in the intact uterus of the cat. All compds. caused fall in arterial blood pressure. VII, IX, XI, XII, XIII, and XVI were very toxic, 10 mg./kg. causing a 100% fall in blood pressure and heart rate.

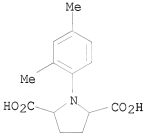
IT 859957-82-7P, 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl-, bis(2-diethylaminoethyl) and bis(3-diethylaminopropyl) esters 860360-52-7P, 2,5-Pyrrolidinedicarboxylic acid, 1-[2,4-xylyl]-, bis(2-diethylaminoethyl) esters 860360-56-1P, 2,5-Pyrrolidinedicarboxylic acid, 1-o-tolyl-, bis(2-diethylaminoethyl) esters 860360-58-3P, 2,5-Pyrrolidinedicarboxylic acid, 1-m-tolyl-, bis(2-diethylaminoethyl) esters 874496-93-2P, 2,5-Pyrrolidinedicarboxylic acid, 1-p-tolyl-, 2-diethylaminoethyl ethyl ester 874496-98-7P, 2,5-Pyrrolidinedicarboxylic acid, 1-(p-chlorophenyl)-, bis(2-diethylaminoethyl) ester 875233-90-2P , 2,5-Pyrrolidinedicarboxylic acid, 1-cyclohexyl-, bis(3-

diethylaminopropyl) ester
RL: PREP (Preparation)
(preparation of)

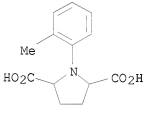
RN 859957-82-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl- (CA INDEX NAME)



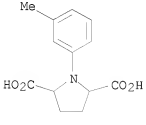
RN 860360-52-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(2,4-dimethylphenyl)- (CA INDEX NAME)



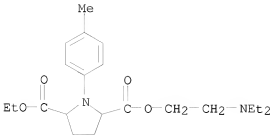
RN 860360-56-1 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(2-methylphenyl)- (CA INDEX NAME)



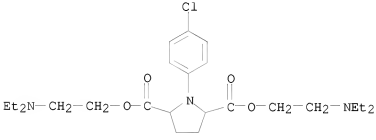
RN 860360-58-3 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(3-methylphenyl)- (CA INDEX NAME)



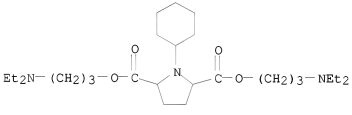
RN 874496-93-2 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-methylphenyl)-,
2-[2-(diethylamino)ethyl] 5-ethyl ester (CA INDEX NAME)



RN 874496-98-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-chlorophenyl)-, 2,5-bis[2-(diethylamino)ethyl] ester (CA INDEX NAME)



RN 875233-90-2 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-cyclohexyl-, 2,5-bis[3-(diethylamino)propyl] ester (CA INDEX NAME)

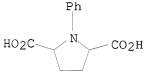


L3 ANSWER 62 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1947:1128 CAPLUS
DOCUMENT NUMBER: 41:1128
ORIGINAL REFERENCE NO.: 41:213f-h
TITLE: Pharmacological activity of basic alkyl esters of substituted pyrrolidine dicarboxylic acids. I. Local anesthetic activity and toxicity
AUTHOR(S): Schaffer, Norwood K.; Loomis, Ted A.
CORPORATE SOURCE: Yale Univ.
SOURCE: Yale Journal of Biology and Medicine (1946), 18, 157-64
CODEN: YJBMAU; ISSN: 0044-0086
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The local-anesthetic activity and toxicity were measured on the rabbit

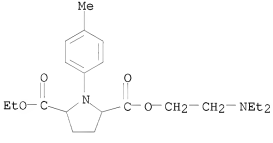
cornea and by intraperitoneal injection in mice for 16 derivs. of 2,5-pyrrolidinedicarboxylic acid, (NR.CH(COOCH2CH2NEt2).CH2.CH2.CHCOOCH2CH2NEt) where R = Et (I), MeOCH2CH2 (II), iso-Bu (III), hexyl (IV), benzyl (V), Ph (VI), o-tolyl (VII), m-tolyl (VIII), phenethyl (IX), p-ClC6H4 (X), 2,4-xylyl (XI), and 3,5-xylyl (XII); (NR.CH(COOCH2CH2CH2NEt2).CH2.CH2.CHCOOCH2CH2CH2NEt22) where R = Ph (XIII), cyclohexyl (XIV), and Bu (XV), and p-MeC6H4N.CH (COOEt).CH2.CH2.CHCOOCH2CH2NEt2 (XVI). IV and V had the highest therapeutic indexes (3.4 times that of cocaine); VII, VIII, IX, XI, XII, and XVI had therapeutic indexes greater than cocaine. These were less toxic than cocaine.

IT 859957-82-7P, 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl-
874496-93-2P, 2,5-Pyrrolidinedicarboxylic acid, 1-p-tolyl-,
2-diethylaminoethyl ethyl ester 874496-98-7P,
2,5-Pyrrolidinedicarboxylic acid, 1-(p-chlorophenyl)-,
bis(2-diethylaminoethyl) ester 875233-90-2P,
2,5-Pyrrolidinedicarboxylic acid, 1-cyclohexyl-, bis(3-diethylaminopropyl) ester
RL: PREP (Preparation)
(preparation of)

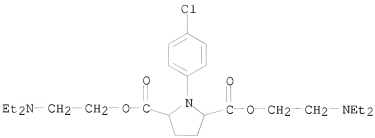
RN 859957-82-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl- (CA INDEX NAME)



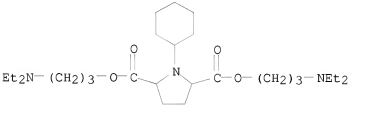
RN 874496-93-2 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-methylphenyl)-,
2-[2-(diethylamino)ethyl] 5-ethyl ester (CA INDEX NAME)



RN 874496-98-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-chlorophenyl)-,
2,5-bis[2-(diethylamino)ethyl] ester (CA INDEX NAME)



RN 875233-90-2 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-cyclohexyl-, 2,5-bis[3-(diethylamino)propyl] ester (CA INDEX NAME)



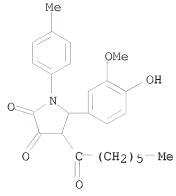
L3 ANSWER 63 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1946:27690 CAPLUS
DOCUMENT NUMBER: 40:27690
ORIGINAL REFERENCE NO.: 40:5427c-i,5428a-b
TITLE: Condensation products of α,γ-diketo acids and their esters
AUTHOR(S): Keskin, Halit
CORPORATE SOURCE: Chemical Inst. II, Univ. of Istanbul, Turk.
SOURCE: Rev. faculte sci. univ. Istanbul (1946), 11A(No. 1/2), 1-23
DOCUMENT TYPE: Journal
LANGUAGE: French
GI For diagram(s), see printed CA Issue.
AB cf. C.A. 40, 1490.4,9 18226. To 1 g. enanthylpyruvic acid in 5 ml. EtOH was added a solution of 0.35 g. H2NNH2.HCl, and then 1 g. Na2CO3. The next day the solution was acidified with H2SO4 and extracted with Et2O. The extract was dried over Na2SO4 and evaporated on a watch glass, yielding 0.9 g. of 5-hexylisoxazole-3-carboxylic acid (I). Recrystd. from H2O or petr. ether, the white product m. 104-5°. The 5-octyl derivative, prepared similarly from nonanoylpyruvic acid, m. 101-2°. Neither of the condensation products in alc. gives a color reaction with FeCl3. Both are monobasic, as shown by titration with NaOH. The Et esters of α,γ-diketo acids, condensed simultaneously with aromatic amines, RNH2, and aldehydes, R'CHO, yield 4,5-diketopyrrolidines consisting of an equilibrium mixture of the keto (II) and enol (III) forms. The enolization does not take place in the ring, as suggested by Schiff and Gigli (Ber. 31, 1307(1898)), but in the side chain. 1-p-Tolyl-2-phenyl-3-propionyl-4,5-diketopyrrolidine has been prepared by adding 0.9 ml. EtCOCH2COCO2Et and 0.5 ml. of BzH to 0.5 g. p-toluidine in 5 ml. of C6H6. After 2 days, the crystals were collected and washed with a little EtOH, yielding 1.3 g. Recrystd. from EtOH, the white crystals m. 222-4°.

The following derivs. of 4,5-diketopyrrolidine were made similarly: 1-phenyl-2-(p-methoxyphenyl)-3-butyryl, white crystals, m. 206-7°; 1-p-tolyl-2-(p-methoxyphenyl)-3-butyryl, white crystals, m. 189-90°; 1-phenyl-2-(p-methoxphenyl)-3-isovaleryl, m. 216-17°; 1-p-tolyl-2-(p-methoxyphenyl)-3-isovaleryl, m. 200-1°; 1-phenyl-2-(p-methoxyphenyl)-3-caproyl, white crystals, m. 182°; 1-p-tolyl-2-(p-methoxyphenyl)-3-caproyl, white crystals, m. 190-1°; 1,2-diphenyl-3-enanthyl, m. 182°; 1-p-tolyl-2-phenyl-3-enanthyl, white crystals, m. 186°; 1-phenyl-2-(p-methoxyphenyl)-3-enanthyl, m. 173°; 1-p-tolyl-2-(p-methoxyphenyl)-3-enanthyl, m. 206-7°; 1-phenyl-2-(p-dimethylaminophenyl)-3-enanthyl, pale yellow, m. 180-1°; 1-p-tolyl-2-(p-dimethylaminophenyl)-3-enanthyl, pale yellow, m. 232°; 1-phenyl-2-(4-hydroxy-3-methoxyphenyl)-3-enanthyl, white crystals, m. 204°; 1-p-tolyl-2-(4-hydroxy-3-methoxyphenyl)-3-enanthyl, m. 208°; 1-(1-naphthyl)-2-phenyl-3-enanthyl, m. 194-5°; 1-(2-naphthyl)-2-phenyl-3-enanthyl, m. 192°; 1,2-diphenyl-3-nonanoyl, m. 173°; 1-p-tolyl-2-phenyl-3-nonanoyl m. 184°; 1-phenyl-2-(p-methoxyphenyl)-3-nonanoyl, m. 139°; 1-p-tolyl-2-(p-methoxyphenyl)-3-nonanoyl, m. 171-2°; 1-phenyl-2-(p-dimethylaminophenyl)-3-nonanoyl, pale yellow crystals, m. 182-3°; 1-p-tolyl-2-(p-dimethylaminophenyl)-3-nonanoyl, yellow crystals, m. 189°; 1-m-tolyl-2-(4-hydroxy-3-methoxyphenyl)-3-nonanoyl, m. 168-9°; 1-(1-naphthyl)-2-phenyl-3-nonanoyl; white crystals, m. 175-6°; 1-(2-naphthyl)-2-phenyl-3-nonanoyl, white crystals, m. 182-3°; 1-phenyl-2-(p-methoxyphenyl)-3-decanoyl, m. 140-1°; 1-p-tolyl-2-(p-methoxyphenyl)-3-decanoyl, m. 149-50°; 1-phenyl-2-(p-methoxyphenyl)-3-dodecanoyl, m. 115-17°; 1-p-tolyl-2-(p-methoxyphenyl)-3-dodecanoyl, m. 142°. All these substituted diketopyrrolidines have 1 acid function, and give a blood-red color reaction with FeCl3 in EtOH.

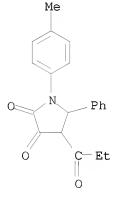
IT 854896-66-5P, 2,3-Pyrrolidinedione, 4-enanthyl-5-(4-hydroxy-3-methoxyphenyl)-1-p-tolyl- 858273-78-6P, 2,3-Pyrrolidinedione, 5-phenyl-4-propionyl-1-p-tolyl- 858274-03-0P, 2,3-Pyrrolidinedione, 4-lauroyl-5-(p-methoxyphenyl)-1-p-tolyl- 858274-04-1P, 2,3-Pyrrolidinedione, 4-lauroyl-5-(p-methoxyphenyl)-1-phenyl- 858274-22-3P, 2,3-Pyrrolidinedione, 4-caproyl-5-(p-methoxyphenyl)-1-p-tolyl- 858274-23-4P, 2,3-Pyrrolidinedione, 4-caproyl-5-(p-methoxyphenyl)-1-phenyl- 858274-24-5P, 2,3-Pyrrolidinedione, 4-butyryl-5-(p-methoxyphenyl)-1-p-tolyl- 858274-25-6P, 2,3-Pyrrolidinedione, 4-butyryl-5-(p-methoxyphenyl)-1-phenyl- 861035-28-1P, 2,3-Pyrrolidinedione, 4-isovaleryl-5-(p-methoxyphenyl)-1-p-tolyl- 861035-30-5P, 2,3-Pyrrolidinedione, 4-isovaleryl-5-(p-methoxyphenyl)-1-phenyl- 861035-37-2P, 2,3-Pyrrolidinedione, 4-enanthyl-5-phenyl-1-p-tolyl- 861035-39-4P, 2,3-Pyrrolidinedione, 4-enanthyl-1-[2-naphthyl]-5-phenyl- 861035-41-8P, 2,3-Pyrrolidinedione, 4-enanthyl-1-[1-naphthyl]-5-phenyl- 861035-43-0P, 2,3-Pyrrolidinedione, 4-enanthyl-5-(p-methoxyphenyl)-1-p-tolyl- 861035-45-2P, 2,3-Pyrrolidinedione, 4-enanthyl-5-(p-methoxyphenyl)-1-phenyl- 861035-47-4P, 2,3-Pyrrolidinedione, 4-enanthyl-5-(4-hydroxy-2-methoxyphenyl)-1-phenyl- 861035-49-6P, 2,3-Pyrrolidinedione, 4-enanthyl-1,5-diphenyl- 861035-59-8P, 2,3-Pyrrolidinedione, 5-(p-dimethylaminophenyl)-4-enanthyl-1-p-tolyl- 861035-61-2P, 2,3-Pyrrolidinedione, 5-(p-dimethylaminophenyl)-4-enanthyl-1-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 854896-66-5 CAPLUS

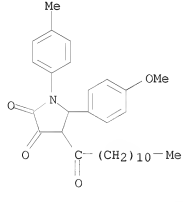
CN 2,3-Pyrrolidinedione, 5-(4-hydroxy-3-methoxyphenyl)-1-(4-methylphenyl)-4-(1-oxoheptyl)- (CA INDEX NAME)



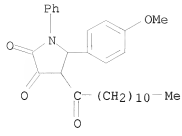
RN 858273-78-6 CAPLUS
CN 2,3-Pyrrolidinedione, 1-(4-methylphenyl)-4-(1-oxopropyl)-5-phenyl- (CA INDEX NAME)



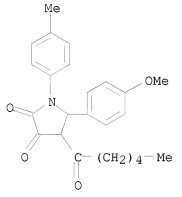
RN 858274-03-0 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-1-(4-methylphenyl)-4-(1-oxododecyl)- (CA INDEX NAME)



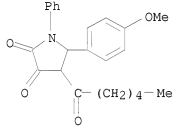
RN 858274-04-1 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-4-(1-oxododecyl)-1-phenyl- (CA INDEX NAME)



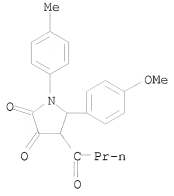
RN 858274-22-3 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-1-(4-methylphenyl)-4-(1-oxohexyl)- (CA INDEX NAME)



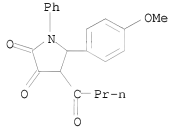
RN 858274-23-4 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-4-(1-oxohexyl)-1-phenyl- (CA INDEX NAME)



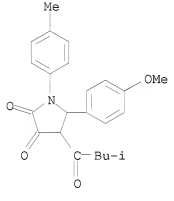
RN 858274-24-5 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-1-(4-methylphenyl)-4-(1-oxobutyl)- (CA INDEX NAME)



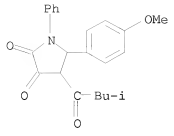
RN 858274-25-6 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-4-(1-oxobutyl)-1-phenyl- (CA INDEX NAME)



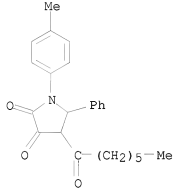
RN 861035-28-1 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-4-(3-methyl-1-oxobutyl)-1-(4-methylphenyl)- (CA INDEX NAME)



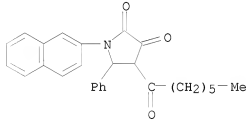
RN 861035-30-5 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-4-(3-methyl-1-oxobutyl)-1-phenyl- (CA INDEX NAME)



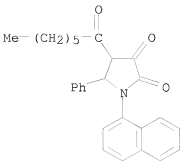
RN 861035-37-2 CAPLUS
CN 2,3-Pyrrolidinedione, 1-(4-methylphenyl)-4-(1-oxoheptyl)-5-phenyl- (CA INDEX NAME)



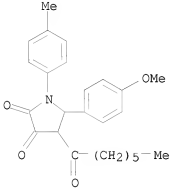
RN 861035-39-4 CAPLUS
CN 2,3-Pyrrolidinedione, 1-(2-naphthalenyl)-4-(1-oxoheptyl)-5-phenyl- (CA INDEX NAME)



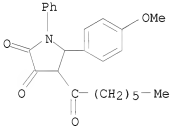
RN 861035-41-8 CAPLUS
CN 2,3-Pyrrolidinedione, 1-(1-naphthalenyl)-4-(1-oxoheptyl)-5-phenyl- (CA INDEX NAME)



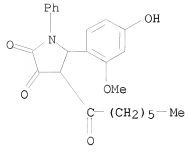
RN 861035-43-0 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-1-(4-methylphenyl)-4-(1-oxoheptyl)- (CA INDEX NAME)



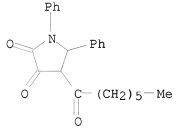
RN 861035-45-2 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-methoxyphenyl)-4-(1-oxoheptyl)-1-phenyl- (CA INDEX NAME)



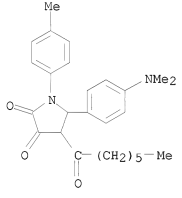
RN 861035-47-4 CAPLUS
CN 2,3-Pyrrolidinedione, 5-(4-hydroxy-2-methoxyphenyl)-4-(1-oxoheptyl)-1-phenyl- (CA INDEX NAME)



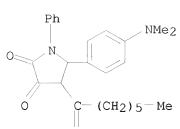
RN 861035-49-6 CAPLUS
CN 2,3-Pyrrolidinedione, 4-(1-oxoheptyl)-1,5-diphenyl- (CA INDEX NAME)



RN 861035-59-8 CAPLUS
CN 2,3-Pyrrolidinedione, 5-[4-(dimethylamino)phenyl]-1-(4-methylphenyl)-4-(1-oxoheptyl)- (CA INDEX NAME)



RN 861035-61-2 CAPLUS
CN 2,3-Pyrrolidinedione, 5-[4-(dimethylamino)phenyl]-4-(1-oxoheptyl)-1-phenyl- (CA INDEX NAME)



L3 ANSWER 64 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1939:55382 CAPLUS
DOCUMENT NUMBER: 33:55382
ORIGINAL REFERENCE NO.: 33:7963b-h
TITLE: Pyrrolidine derivatives
INVENTOR(S): Dohrn, Max; Nahme, Hans
PATENT ASSIGNEE(S): Schering A.-G.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 678152		19390711	DE 1937-SC114287	19371119

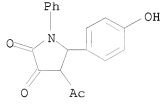
AB Substitution products of 4,5-diketo-pyrrolidine (I) are obtained by condensing an easily saponifiable derivative of a hydroxybenzaldehyde, e. g., an acyloxybenzaldehyde or a hydroxybenzaldehyde alkyl-carbonic acid ester, with a primary aromatic, alicyclic or heterocyclic amine and an α-keto carboxylic ester, e. g., an oxalacetic or acylpyruvic ester. The products may then be treated to remove the easily saponifiable group. In a typical example, p-OHCC6H4OCOOMe, PhNH2 and AcCH2COCOOEt are allowed to stand in benzene solution to yield 1-phenyl-3-acetyl-2-(4'-carbomethoxyoxyphenyl)-I, m. 205°, which yields 1-phenyl-3-acetyl-2-(4'-hydroxyphenyl)-I, m. 244-6°, when warmed with caustic alkali solution Similarly, p-OHCC6H4OCOOMe, PhNH2 and PhCOCH2COCOOEt yield 1-phenyl-3-benzoyl-2-(4'-carbomethoxyoxyphenyl)-I, m. 238°, which yields 1-phenyl-3-benzoyl-2-(4'-hydroxyphenyl)-I, m. 248°, when saponified, and p-OHCC6H4OCOOMe, PhNH2 and EtO-OCCOCH2COOEt yield 1-phenyl-3-carbethoxy-2-(4'-carbomethoxyoxyphenyl)-I, m. 168-70°, which yields 1-phenyl-2-(4'-hydroxyphenyl)-I-3-carboxylic acid, decomposing 240°, when saponified Examples are given also of the preparation of (a) 1-(4'-carbethoxyphenyl)-3-acetyl-2-(4'-carbomethoxyoxyphenyl)-I, m. 223°, which yields 1-(4'-carboxyphenyl)-3-acetyl-2-(4'-hydroxyphenyl)-I, m. 265°, when saponified, (b) 1-(4'-dimethylaminophenyl)-3-acetyl-2-(4'-carbomethoxyoxyphenyl)-I, m. 139-42°, and the corresponding 2-(4'-hydroxyphenyl) compound, m. 243°, (c) 1-(4'-iodophenyl)-3-acetyl-2-(4'-carbomethoxyoxyphenyl)-I, m. 225°, and the corresponding 2-(4'-hydroxyphenyl) compound, m. 255°, (d) 1-(3',4',5'-triiodophenyl)-3-acetyl-2-(4'-carbomethoxyoxyphenyl)-I, m. 230° (decomposition), and the corresponding 2-(4'-hydroxyphenyl) compound, m. 263° (decomposition), (e) 1-(2'-butyloxy - 5' - pyridyl) - 3 - acetyl - 2 - (4' - carbomethoxyoxyphenyl)-I, m. 100°, and the corresponding 2-(4'-hydroxyphenyl) compound, m. 220°, (f) 1-(6'-quinolyl)-3-acetyl-2-(4'-carbomethoxyoxyphenyl)-I, decomposing above 270°, and the corresponding 2-(4'-hydroxyphenyl) compound, m. above 260° (decomposition), (g) 1-cyclohexyl-3-acetyl-2-(4'-carbomethoxyoxyphenyl)-I, m. 195-6°, and the corresponding

2-(4'-hydroxyphenyl) compound, m. 239°, (h) 1-phenyl-3-acetyl-2-(2'carboethoxyoxyphenyl)-I, m. 171°, and the corresponding 2-(2'-hydroxyphenyl) compound, m. 198-200°, (i) 1-phenyl-3-acetyl-2-(3'-carbomethoxyoxyphenyl)-I, m. 191°, and the corresponding 2-(3'-hydroxyphenyl) compound, m. 183° (j) 1-phenyl-3-acetyl-2-(4'-acetoxyphenyl)-I, m. 205-6°, and the corresponding 2-(4'-hydroxyphenyl) compound, (k) 1-phenyl-3-acetyl-2-(4'-benzyloxyphenyl)-I, m. 236-7°. The products are useful as drugs or intermediates for drugs.

IT 852058-50-5, 2,3-Pyrrolidinedione, 4-acetyl-5-[p-hydroxyphenyl]-1-phenyl- 858274-45-0, 2,3-Pyrrolidinedione, 4-acetyl-5-[o-hydroxyphenyl]-1-phenyl- 858274-47-2, 2,3-Pyrrolidinedione, 4-acetyl-5-[m-hydroxyphenyl]-1-phenyl-
(and esters)

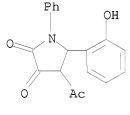
RN 852058-50-5 CAPLUS

CN 2,3-Pyrrolidinedione, 4-acetyl-5-(4-hydroxyphenyl)-1-phenyl- (CA INDEX NAME)



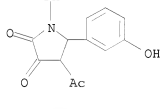
RN 858274-45-0 CAPLUS

CN 2,3-Pyrrolidinedione, 4-acetyl-5-(2-hydroxyphenyl)-1-phenyl- (CA INDEX NAME)



RN 858274-47-2 CAPLUS

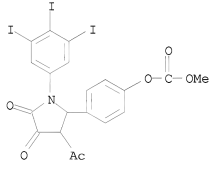
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(3-hydroxyphenyl)-1-phenyl- (CA INDEX NAME)



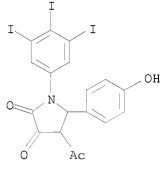
IT 858274-37-0P, 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(3,4,5-triiodophenyl)-, methyl carbonate 858274-39-2P, 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(3,4,5-triiodophenyl)- 858274-42-7P, 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(6-quinolyl)-, methyl carbonate 858274-43-8P, 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(6-quinolyl)-

858274-49-4P, 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(p-iodophenyl)-, methyl carbonate 861035-81-6P, 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(p-iodophenyl)- 861035-84-9P, 2,3-Pyrrolidinedione, 4-acetyl-1-(p-dimethylaminophenyl)-5-(p-hydroxyphenyl)-, methyl carbonate 861035-85-0P, 2,3-Pyrrolidinedione, 4-acetyl-1-(p-dimethylaminophenyl)-5-(p-hydroxyphenyl)- 861035-86-1P, 2,3-Pyrrolidinedione, 4-acetyl-1-cyclohexyl-5-(p-hydroxyphenyl)-, methyl carbonate 861035-87-2P, 2,3-Pyrrolidinedione, 4-acetyl-1-cyclohexyl-5-(p-hydroxyphenyl)- 861035-88-3P, 2,3-Pyrrolidinedione, 4-acetyl-1-(p-carboxyphenyl)-5-(p-hydroxyphenyl)-, Et ester methyl carbonate 861035-89-4P, 2,3-Pyrrolidinedione, 4-acetyl-1-(p-carboxyphenyl)-5-(p-hydroxyphenyl)- 861035-90-7P, 2,3-Pyrrolidinedione, 4-acetyl-1-(6-butoxy-3-pyridyl)-5-(p-hydroxyphenyl)-, methyl carbonate 861035-91-8P, 2,3-Pyrrolidinedione, 4-acetyl-1-(6-butoxy-3-pyridyl)-5-(p-hydroxyphenyl)- 861035-92-9P, 2,3-Pyrrolidinedione, 4-acetyl-5-[p-(benzyloxy)phenyl]-1-phenyl-
RL: PREP (Preparation)
(preparation of)

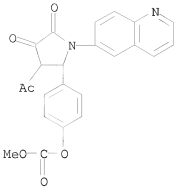
RN 858274-37-0 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(3,4,5-triiodophenyl)-, methyl carbonate (4CI) (CA INDEX NAME)



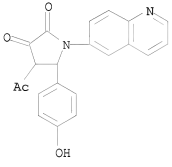
RN 858274-39-2 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(4-hydroxyphenyl)-1-(3,4,5-triiodophenyl)-
(CA INDEX NAME)



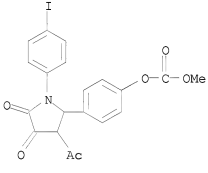
RN 858274-42-7 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(6-quinolyl)-, methyl carbonate (4CI) (CA INDEX NAME)



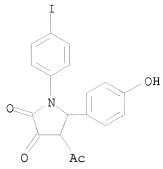
RN 858274-43-8 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(4-hydroxyphenyl)-1-(6-quinolinyl)- (CA INDEX NAME)



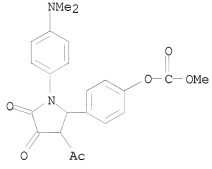
RN 858274-49-4 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(p-hydroxyphenyl)-1-(p-iodophenyl)-, methyl carbonate (4CI) (CA INDEX NAME)



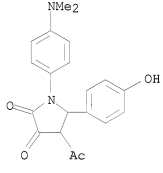
RN 861035-81-6 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(4-hydroxyphenyl)-1-(4-iodophenyl)- (CA INDEX NAME)



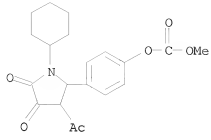
RN 861035-84-9 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-(p-dimethylaminophenyl)-5-(p-hydroxyphenyl)-, methyl carbonate (4CI) (CA INDEX NAME)



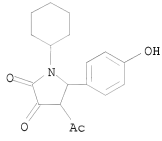
RN 861035-85-0 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-[4-(dimethylamino)phenyl]-5-(4-hydroxyphenyl)- (CA INDEX NAME)



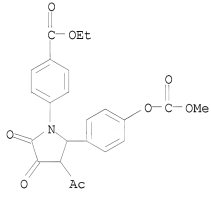
RN 861035-86-1 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-cyclohexyl-5-(p-hydroxyphenyl)-, methyl carbonate (4CI) (CA INDEX NAME)



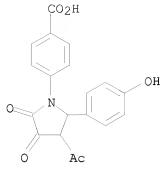
RN 861035-87-2 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-cyclohexyl-5-(4-hydroxyphenyl)- (CA INDEX NAME)



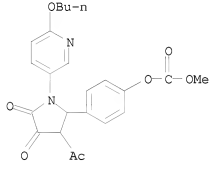
RN 861035-88-3 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



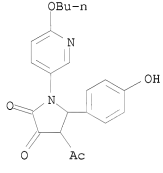
RN 861035-89-4 CAPLUS
CN INDEX NAME NOT YET ASSIGNED



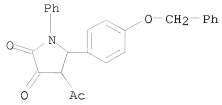
RN 861035-90-7 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-(6-butoxy-3-pyridyl)-5-(p-hydroxyphenyl)-, methyl carbonate (4CI) (CA INDEX NAME)



RN 861035-91-8 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-(6-butoxy-3-pyridinyl)-5-(4-hydroxyphenyl)- (CA INDEX NAME)



RN 861035-92-9 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-phenyl-5-[4-(phenylmethoxy)phenyl]- (CA INDEX NAME)

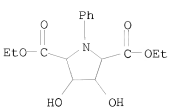


L3 ANSWER 65 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1938:30152 CAPLUS
DOCUMENT NUMBER: 32:30152
ORIGINAL REFERENCE NO.: 32:4166d-h
TITLE: Quinoline derivatives. III
AUTHOR(S): Ghosh, Tejendra N.
SOURCE: J. Indian Chem. Soc. (1937), 14, 713-16
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

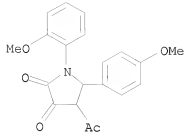
AB cf. C. A. 31, 7431.8. In that the therapeutic value of ichthyol oils has been attributed to the presence of alkylthiophenes and the replacement of the Ph group in the cinchophen mol. by the thiophene radical results in a product with marked antiphlogistic and analgesic properties it has seemed of interest to synthesize a compound in which the thiophene ring is fused with the quinoline residue. A mixture of 10.4 g. of Et 3,4-dihydroxythiophene-2,5-dicarboxylate and 7.5 g. PhNH2 was heated on an oil bath for 30 min. and at 170-5° for 2.5 hrs. The product was triturated and washed with alc. and crystallized from dilute pyridine, yielding 8.5 g. of 2,5-diphenylcarbamido-3,4-dihydroxythiophene (I), C18H14N2O4S, m. 292-3° (decomposition). I (6 g.) was heated with 30 cc. concentrated H2SO4 for 3 hrs. at 100° and the cooled reaction mixture was poured onto ice, treated with excess Na2CO3, filtered and acidified. The greenish white amorphous solid was recrystd. from hot H2O and gave 1.5 g. of 4-hydroxythiophene-2,3-(3',4')-2'-hydroxyquinolinesulfonic acid, C11H7NO5S2, m. above 310°, forming HBr and HCl salts, both m. above 300°. Attempts were made to condense Et 1-N-phenyl-3,4-dihydroxypyrrolidine-2,5-dicarboxylate with PhNH2 but no reaction was observed. Following the work of Narang and Ray (C. A. 25, 3342) on the synthesis of glyoxalinoquinolines as antimalarials, 13.2 g. of 2-methylbenziminazole was condensed with 7.3 g. (CO2Et)2 in the presence of 2.3 g. Na in 100 cc. absolute alc. The mass was diluted with H2O and extracted with Et2O. Acidification of the aqueous solution with excess dilute HCl and recrystn. of the washed precipitate from hot H2O gave 3 g. of 1,4-dibenziminazolylbiacetyl (II), C18H14N4O2, m. above 300°. Synthesis of bis(benziminazolylquinoline) derivs. by condensation of II with o-O2NC6H4CHO in the presence of Ac2O and fused NaOAc and in pyridine in the presence of piperidine was not achieved even on continued refluxing.

IT 861035-94-1P, 2,5-Pyrrolidinedicarboxylic acid, 3,4-dihydroxy-1-phenyl-, diethyl ester
RL: PREP (Preparation)
(preparation of)

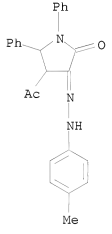
RN 861035-94-1 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 3,4-dihydroxy-1-phenyl-, 2,5-diethyl ester (CA INDEX NAME)



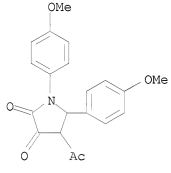
L3 ANSWER 66 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1932:18264 CAPLUS
DOCUMENT NUMBER: 26:18264
ORIGINAL REFERENCE NO.: 26:1928a-e
TITLE: Pyrazopyrrolidones
AUTHOR(S): Dohrn, M.; Thiele, A.
SOURCE: Berichte der Deutschen Chemischen Gesellschaft [Abteilung] B: Abhandlungen (1931), 64B, 2863-5
CODEN: BDCBAD; ISSN: 0365-9488
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB By condensation of primary or secondary amines with an aldehyde and α,γ-diketonic acid mixture Schiff obtained diketopyrrolidines (I) with an RCO group on C atom 3. From the I there can be obtained, through ketonization of the enolic group in position 4, 4-hydrazones which are capable of again forming a ring, with the 3-RCO group, yielding a bicyclic system (II) of two 5-membered rings with two C atoms in common. This 2nd ring closure does not take place when the substituent on C atom 3 is RO2C instead of RCO. 2-Phenyl-3-acetyl-4,5-diketopyrrolidine, from BzH and saturated alc. NH3 in benzene with AcCH2COCO2Et, m. 195-6°, gives a wine-red color with alc. FeCl3; phenylhydrazone, m. 217°. The latter, boiled in alc. containing a little concentrated H2SO4, condenses to 2,4-diphenyl-3-methylpyrazo-6-pyrrolidone, m. 214-5°. In the same way were obtained the following addnl. 3-methylpyrazo-6-pyrrolidones: 1,4-dimethyl-2-phenyl, m. 250-5°; 2-piperonyl-4-phenyl, m. 216-7°; 1,2,4-triphenyl, m. 158-9°; 1-mtolyl-2-p-methoxyphenyl-4-phenyl, m. 167-9°; 1-o-methoxyphenyl-2-p-methoxyphenyl-4-phenyl, m. 161-3°; 1,2-bis(p-methoxyphenyl)-4-phenyl, m. 162-40°; 1,2,3,4-tetraphenylpyrazo-6-pyrrolidone, m. 195-7°. These compds. were prepared from hydrazones of the following 3-acetyl-4,5-diketopyrrolidines: 1-methyl-2-phenyl, m. 215-60° (methylhydrazone, m. 204-5°); 2-piperonyl, m. 158-9°; 1,2-di-Ph, m. 229-310 (p-tolylhydrazone, m. 218° (decomposition)); 1-m-tolyl-2-P-methoxyphenyl, m. 186-8°; 1-o-methoxyphenyl-2-p-methoxyphenyl, turns brown 210°, m. 218-20°; 1,2-bis(p-methoxyphenyl), m. 163-40°.
IT 856099-62-2P, 2,3-Pyrrolidinedione, 4-acetyl-1-o-anisyl-5-p-anisyl- 858450-37-0P, 2,3-Pyrrolidinedione, 4-acetyl-1,5-diphenyl-, 3-p-tolylhydrazone 858450-38-1P, 2,3-Pyrrolidinedione, 4-acetyl-1,5-di-p-anisyl- 858450-39-2P, 2,3-Pyrrolidinedione, 4-acetyl-5-p-anisyl-1-m-tolyl-
RL: PREP (Preparation)
(preparation of)
RN 856099-62-2 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-(2-methoxyphenyl)-5-(4-methoxyphenyl)- (CA INDEX NAME)



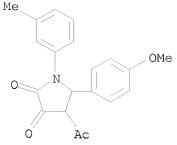
RN 858450-37-0 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1,5-diphenyl-, 3-[2-(4-methylphenyl)hydrazone] (CA INDEX NAME)



RN 858450-38-1 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1,5-bis(4-methoxyphenyl)- (CA INDEX NAME)



RN 858450-39-2 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(4-methoxyphenyl)-1-(3-methylphenyl)- (CA INDEX NAME)

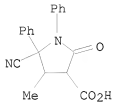


L3 ANSWER 67 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1925:3519 CAPLUS
DOCUMENT NUMBER: 19:3519
ORIGINAL REFERENCE NO.: 19:503e-i
TITLE: Interaction between ethyl ethylidenemalonate and anilinophenylacetonitrile
AUTHOR(S): Higginbotham, Lucy; Lapworth, Arthur; Simpson, Charles
SOURCE: Journal of the Chemical Society, Transactions (1924), 125, 2339-44
CODEN: JCHTA3; ISSN: 0368-1645
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable

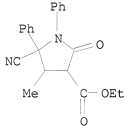
AB cf. Clarke and Lapworth, C. A. 1, 2116. The condensation of 25.5 g. PhCH(CN)NHPh and 22.8 g. MeCH:C(CO2Et)2 in ice-cold EtOH by adding dropwise 6.85 g. KOH in an equal weight of H2O gives 19.5 g. of a precipitate A, 5.8 g. of a yellow resin (after adding H2O) and, after heating on the H2O bath for several hrs., 10.5 g. of a resinous acid B. A was probably the K derivative of PhC[CHMeCH(CO2Et)2](CN)NHPh; boiled with 25% aqueous NaOH the steam contained PhNH2 and EtOH and the alkaline residue contained cyanide. With concentrated EtOH-KOH, NH3 is evolved and cyanide formed; the Et2O-soluble acids consisted almost wholly of I, below. Heated in aqueous Me2CO or in dilute AcOH, 10 g. A gave about 6 g. Et 5-cyano-2-keto-1,5-diphenyl-4-methylpyrrolidine-3-carboxylate, m. 99°; it is repptd. unchanged from cold concentrated H2SO4; on heating it chars. It is not changed by boiling with dilute HCl but EtOH-H2SO4 gives BzOEt. The free acid was obtained only as a resin (B above), softens 40° and completely liquid at 65°. Heating 2 hrs, with 50% KOH gives I. Boiling with HCl gives 5-cyano-2-keto-1,5-diphenyl-4-methylprryolidine, m. 111°; heated with an excess EtOHKOH, it gives KCN, PhNH2 and an oil from which I could be isolated. α-Benzoylethylmalonic acid (I), m. 164-5° (decomposition), was prepared in 1 step by condensing 20 g. PhCH(CN)NHPh and 18.6 g. MeCH:C(CO2Et)2 in 75 cc. EtOH with 50% aqueous KOH (2.2 g.) and after 2 hrs. adding 45.5 g. KOH and boiling 2 hrs.; 18.5 g. Et2O-soluble oil was obtained, from which I crystallized Heated at 160° I gives β-benzoylbutyric acid (II), m. 59-60°; semicarbazone, m. 177-8°. I was also synthesized from Ph α-bromoethyl ketone b4 110-2°, yellow oil with lachrymatory properties, and CHK(CO2Et)2 in C6H6. PhCH(CN)NMePh does not condense with MeCH:C(CO2Et)2; the action of alkali gives a yellow compound, m. 126-8°, which forms a HCl salt.

IT 861384-66-9P, 3-Pyrrolidinecarboxylic acid, 5-cyano-2-keto-4-methyl-1,5-diphenyl- 861582-70-9P, 3-Pyrrolidinecarboxylic acid, 5-cyano-2-keto-4-methyl-1,5-diphenyl-, ethyl ester
RL: PREP (Preparation)
(preparation of)

RN 861384-66-9 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 5-cyano-4-methyl-2-oxo-1,5-diphenyl- (CA INDEX NAME)



RN 861582-70-9 CAPLUS
CN 3-Pyrrolidinecarboxylic acid, 5-cyano-4-methyl-2-oxo-1,5-diphenyl-, ethyl ester (CA INDEX NAME)



L3 ANSWER 68 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1915:17111 CAPLUS
DOCUMENT NUMBER: 9:17111
ORIGINAL REFERENCE NO.: 9:2794i,2795a-d
TITLE: Diketopyrrolidine derivatives.
INVENTOR(S): Thiele, A.
DOCUMENT TYPE: Patent
LANGUAGE: Unavailable
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

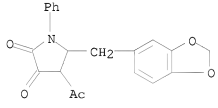
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 1148637		19150803	US	

AB p-Methyl-diphenylbenzoyldiketopyrrolidine, crystalline m. 248° is made by heating p-toluidine with benzaldehyde in absolute alc., adding Et sodiobenzoylpyroracemate dissolved in absolute alc. and glacial HOAc, heating for 12 hrs. and precipitating with HCl, purifying through the Na salt and crystalline from alc. 1-o-Tolyl-2-phenyl-3-acetyl-4,5-diketopyrrolidine, rose colored crystalline, m. 177-9°, is made by reaction in Et2O solution of o-toluidine and benzaldehyde on Et acetylpyroracemate. 1-o-Methoxyphenyl-2-phenyl-3-acetyl-4,5-diketopyrrolidine, m. 225-7° (decomposition), is made by reaction in ether solution of anisidine, benzaldehyde and Et acetylpyroracemate; 1-Phenyl-2-piperonyl-3-acetyl-4,5-diketopyrrolidine, m. 197°, by heating together aniline, piperonal and Et acetylpyroracemate, in C6H6. 1-p-Tolyl-2-p-dimethylaminophenyl-3-acetyl-4,5-diketopyrrolidine, a brown powder, m. 166° (decomposition), is made by reaction in C6H6 of Et acetylpyroracemate, p-toluidine and p-dimethylaminobenzaldehyde. 1-Quinolyl-2-phenyl-3-acetyl-4,5-diketopyrrolidine, m. 222° (decomposition), is made from

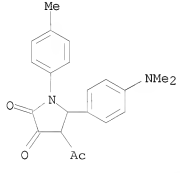
8-aminoquinoline, benzaldehyde and Et acetylpyroracemate in C6H6 solution 1-[1-Phenyl-2,3-dimethyl-5-pyrazolyl]-2-phenyl-3-acetyl-4,5-diketopyrrolidine, a light brown powder, with a bitter taste, decompose on heating, is made from phenyldimethylaminopyrazolone, benzaldehyde and Et acetyl pyroracemate, by heating in C6H6 at 100-10° for 5-6 hrs. 1-Phenyl-2-furfuryl-3-acetyl-4,5-diketopyrrolidine, yellow-green crystalline, soluble in alc. and ether and m. 190° (decomposition), is made by heating furfurol, aniline and Et acetylpyroracemate in C6H6 on a H2O-bath for 2 hrs. 1-o-Methoxyphenyl-2-phenyl-3-benzoyl-4,5-diketopyrrolidine, crystalline m. 215-7° (decomposition), is made by reaction of o-anisidine, benzaldehyde and Et benzoylpyroracemate in C6H6 for 24 hrs. All these compds. are insol. in H2O, difficultly soluble in ether and C6H6, soluble in alkalies and stable when heated with dilute acids or alkalies. They are proposed for use as medicines.

IT 859958-02-4P, 4,5-Pyrroledione, 3-acetyl-2,3-dihydro-1-phenyl-2-piperonyl 860759-80-4P, 4,5-Pyrroledione, 3-acetyl-2-p-dimethylaminophenyl-2,3-dihydro-1-p-tolyl- 860759-81-5P, 4,5-Pyrroledione, 3-acetyl-2,3-dihydro-2-phenyl-1-o-tolyl-
RL: PREP (Preparation)
(preparation of)

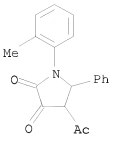
RN 859958-02-4 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-(1,3-benzodioxol-5-ylmethyl)-1-phenyl- (CA INDEX NAME)



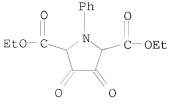
RN 860759-80-4 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-5-[4-(dimethylamino)phenyl]-1-(4-methylphenyl)- (CA INDEX NAME)



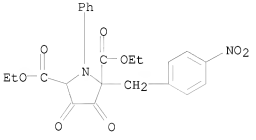
RN 860759-81-5 CAPLUS
CN 2,3-Pyrrolidinedione, 4-acetyl-1-(2-methylphenyl)-5-phenyl- (CA INDEX NAME)



L3 ANSWER 69 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1911:15334 CAPLUS
DOCUMENT NUMBER: 5:15334
ORIGINAL REFERENCE NO.: 5:2642f-i
TITLE: Synthesis of Pyrrole Compounds from Imido Acids. N-Phenyl-α-α'-Dicarbethoxy-β,β'-Diketopyrrolidine
AUTHOR(S): Johnson, Treat B.; Bengis, Robert
CORPORATE SOURCE: Sheffield Lab., Yale Univ.
SOURCE: Journal of the American Chemical Society (1911), 33, 745-55
CODEN: JACSAT; ISSN: 0002-7863
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB In presence of EtONa, each of the 4 combinations of diethyl or dimethyl oxalate and diethyl or dimethyl N-phenyldiglycolamidate condense giving N-phenyl-α,α'-dicarbethoxy-β,β'-diketopyrrolidine, formula (I), needle-like prisms from hot alc. or AcOH, m. 137-8°. Similarly in MeONa the 4 combinations gave N-phenyl-α,α'-dicarbmethoxy-β,β'-diketopyrrolidine, crystals from hot alc. m. 188-9°, and in some cases a trace of (I). Mouilpied (J. Chemical Society, 87, 435) under similar conditions obtained 6 products, these were probably mixtures of these 2 compds. The yellow disodium salt of (I) when digested in alc. with an excess of a halide of an aromatic base yields the colorless monosodium salt. Barium salt. H2O yellow. On digesting the disodium salt of (I) with nitrobenzyl chloride, 2 products were obtained. (a) N-phenyl-α,α'-dicarbethoxy-α-p-nitrobenzyl-β,β'-diketopyrrolidine (II), orange colored powder from alc. + H2O, m. 180-2°, (b) the part insol. in alc. + H2O gave di-(p-nitrobenzyl)-N-phenyl-α,α'-dicarbethoxy-β,β'-diketopyrrolidine, yellow prisms from AcOH, m. 132°. HI or Al amalgam do not reduce (I).
IT 859957-84-9, 2,5-Pyrrolidinedicarboxylic acid, 3,4-diketo-1-phenyl-, diethyl ester
(and derivs.)
RN 859957-84-9 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 3,4-dioxo-1-phenyl-, 2,5-diethyl ester (CA INDEX NAME)



IT 860759-52-0P, 2,5-Pyrrolidinedicarboxylic acid, 3,4-diketo-2-(p-nitrobenzyl)-1-phenyl-, diethyl ester
RL: PREP (Preparation)
(preparation of)
RN 860759-52-0 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 2-[(4-nitrophenyl)methyl]-3,4-dioxo-1-phenyl-, 2,5-diethyl ester (CA INDEX NAME)

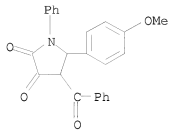


L3 ANSWER 70 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1910:1764 CAPLUS
DOCUMENT NUMBER: 4:1764
ORIGINAL REFERENCE NO.: 4:319h-i,320a-i,321a-h
TITLE: Syntheses of Cinchonic Acid
AUTHOR(S): Borsche, W.
CORPORATE SOURCE: Gen. Chem. Inst.;Univ. Gottingen
SOURCE: Berichte der Deutschen Chemischen Gesellschaft (1910), 42, 4072-88
CODEN: BDCGAS; ISSN: 0365-9496
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
OTHER SOURCE(S): CASREACT 4:1764
GI For diagram(s), see printed CA Issue.
AB α,β,N-Triphenyl-α',β'-diketopyrrolidine, formula (I)below, is prepared from phenylpyruvic acid, BzH and PhNH2; colorless needles, m. 250°. Yield, 80%. It is also formed from the acid and benzalaniline. It does not react with ketone reagents. Acetate, crystalline powder, m. 185°. Benzoate, crystalline, m. 174°. α,β,N-Triphenyl-α'-keto-β'-hydroxypyrrolidine (II) is produced from AcOH, Zn dust and (I); colorless crystals, m. 238°. Distillation decomposes it into stilbene, gases and a compound C21H17ON; needles, m. about 338°. α,β-Diphenyl-N-o-tolyl-α',β'-diketopyrrolidine (III) is prepared in a similar manner to (I) by the use of o-toluidine; colorless, crystalline powder, m. 232-4°. m-Tolyl derivative, brittle, yellow resin. p-Tolyl compound, colorless crystals, m. 224°. α,β-Diphenyl-N-m-nitrophenyl-α',β'-diketopyrrolidine (IV), from m-nitraniline; pale yellow needles, m. 226°. β,N-Diphenyl-α',β'-diketopyrrolidine, from PhNH2 and HCHO; crystalline powder, m. about 208°. β,N-Diphenyl-α-p-nitrophenyl-α',β'-diketopyrrolidine, from PhNH2, and p-nitrobenzaldehyde; almost colorless crystals, m. 192°. β,N-Diphenyl-α,o-hydroxyphenyl-α',β'-diketopyrrolidine, from PhNH2 and salicylic aldehyde; colorless needles, m. 252,°. β,N-Diphenyl-β-p-methoxyphenyl-α',β'-dikelopyrrolidine, colorless crystals, m. 195°. When distilled it gives p-methoxystilbene. α,β-Diphenyl-β-naphthoquinoline-γ-carboxylic acid (α,β-diphenyl-β-naphthocinchonic acid) (V) is prepared from phenylpyruvic acid, BzH and β-naphthylamine; pale yellow, crystalline

powder, m. and evolves CO2 about 275°. Yield, 40%. Sodium salt, hair-like needles. α,β-Diphenyl-β-naphthoquinoline (VI), formed by heating the acid; colorless needles from acetone or AcOH + H2O, rhombic plates from AcOEt + alc., m. 179-80°. α-Methyl-β-phenyl-β-naphthocinchonic acid (VII), from phenylpyruvic acid, AcH and β-naphthylamine; colorless, highly insol. needles. When heated it gives β-phenyl-β-naphthoquinaldine (VIII); yellow, pointed, acute-angled prisms with 1 H2O, m. 101°. Hydrochloride and sulphate, colorless needles. Nitrate, lustrous plates. All the salts have a deep blue fluorescence in solution, it is specially intense in the case of the sulphate. β-Phenyl-β-naphthocinchonic acid (IX), from phenylpyruvic acid, HCHO and β-naphthylamine; colorless flocks. Yield, 22-5%. When heated it forms the quinoline derivative (X); needles with 2 H2O, m. and evolves gas 111°. α,N-Diphenyl-β-o-nitrophenyl-α',β'-diketopyrrolidine (XI), from o-nitrophenylpyruvic acid, BzH and PhNH2; yellowish plates, m. and decomposes 207-8°. Yield, 150% of the nitro acid. α-Phenyl-β-o-nitroPhenyl-β-naphthocinchonic acid (XII), from o-nitrophenylpyruvic acid, BzH and β-naphthylamine; crystalline. Yield, 33%. When fused it gives α-phenyl-β-o-nitrophenyl-β-naphthoquinoline; yellow, rhombic plates which are stated to have 1 and also 0.5 mol. H2O, m. 193-4°. o-Nitrophenylpyruvic acid, β-naphthylamine and HCHO also form a naphthocinchonic acid. Yield, about 22%. Benzoylpyruvic acid, BzH and PhNH2 give α,N-diphenyl-β-benzoyl-α',β'-diketopyrrolidine (XIII); colorless needles, m. and darkens 242-4°. Anisic aldehyde forms the corresponding N-phenyl-α-p-methoxyphenyl-β-benzoyl-α',β'-diketopyrrolidine; colorless crystals, m. 228°. α-Phenyl-β-benzoyl-β-naphthocinchonic acid (XIV), from benzoylpyruvic acid, BzH and β-naphthylamine; colorless needles. Yield, 25%. When melted it gives the naphthoquinoline; colorless, slender, thickly felted needles, m. 185°. β-Benzoyl-β-naphthocinchonic acid (XV), from benzoylpyruvic acid, HCHO and β-naphthylamine; pale yellow, crystalline powder. Yield, 34%. When m. it passes into the corresponding quinoline; colorless needles, m. 108-9°. Benzylpyruvic acid, BzH and PhNH2 form N,α-diphenyl-β-benzyl-α',β'-diketopyrrolidine (XVI); colorless needles, m. 196°. Yield, 100% of the acid. α-Phenyl-β-benzylcinchonic acid (XVII) is formed together with the preceding compound, from which it is separated by its solubility in aqueous Na2CO3; crystalline, m. 290°. Yield, 13%. When heated it gives α-phenyl-α-benzylquinoline; colorless needles, m. 96-7°. 2-Methyl-α-phenyl-β-benzylcinchonic acid (XVIII), from benzylpyruvic acid, BzH and m-toluidine; slender, colorless needles. Yield, about 35% of the acid. When fused it is converted into 2-methyl-α-phenyl-β-benzylquinoline; needles, m. 99°. Benzylpyruvic acid, BzH and β-naphthylamine form α-phenyl-β-benzyl-β-naphthocinchonic acid (XIX); pale yellow, crystalline powder. Yield, 57%. The corresponding naphthoquinoline is deposited in colorless needles, m. 152°. The following groups of quinoline-γ-carboxylic acids may be synthesized by means of Dobner's reaction. (1) α-Substituted γ-carboxylic acids from pyruvic acid, primary aromatic amines and homologues of HCHO. (2) β-Substituted (naphtho-) quinoline-γ-carboxylic acids, from monosubstituted pyruvic acid, HCHO and β-naphthylamine. (3) α,β-Disubstituted γ-carboxylic acids from monosubstituted pyruvic acid, primary aromatic amines and the homologues of HCHO (cf. following abstract).

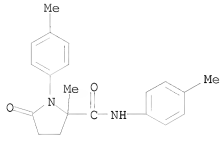
IT 859958-17-1P, 2,3-Pyrroledione, 5-p-anisyl-4-benzoyl-4,5-dihydro-1-phenyl-
RL: PREP (Preparation)
(preparation of)

RN 859958-17-1 CAPLUS
CN 2,3-Pyrrolidinedione, 4-benzoyl-5-(4-methoxyphenyl)-1-phenyl- (CA INDEX NAME)

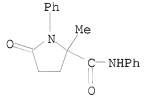


L3 ANSWER 71 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1909:14668 CAPLUS
DOCUMENT NUMBER: 3:14668
ORIGINAL REFERENCE NO.: 3:2696e-i,2697a-b
TITLE: Phthaleinoximes
AUTHOR(S): Meyer, Richard; Kissin, S. M.
CORPORATE SOURCE: Chem. Lab., Techn. Hochsch., Brunswick
SOURCE: Berichte der Deutschen Chemischen Gesellschaft (1909), 42, 2825-38
CODEN: BDCGAS; ISSN: 0365-9496
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB The constitution of the phthaleinoximes is discussed at considerable length; the results are expressed in the formulas given below. Benzoyl phenolphthaleinoxime, formula (I) below; colorless crystals, m. 175°. It is hydrolyzed with difficulty. The formula C20H15O3N for the reduction product of phenolphthaleinoxime is confirmed. Hydroquinolphthalein-α-oxime trimethyl ether, by the action of Me2SO4; needles, m. 123-4°. Triacetyl derivative, crystalline, m. 210°. Tribenzoyl derivative, needles, m. 226-7°. The α-oxime (II) could not be reduced by means of Zn dust and NaOH, but the β-oxime (III), under these conditions, is converted into the γ-oxime (IV). γ-Oxime tribenzoyl derivative, yellow crystals, m. 275-80°, previously darkening. Hydroxyphenylphthalide oxime, colorless plates with 1 MeOH, m. 215-6°. Dibenzoyl derivative, colorless needles, m. 233-4°. Hydroxydiphenylphthalide oxime, colorless, cubical crystals from Et2O, m. 204-5°, previously darkening. From alc. the crystals are yellow, but become colorless in Et2O or when heated at 120°. Dibenzoyl derivative, colorless needles, m. 150-1°. Methylglutolactonic acid (V) does not yield an oxime, and it does not react with phenylhydrazine nor with bromophenylhydrazine (?); unsym. methylphenylhydrazine converts it into NH4 methylglutolactonate. The following additional derivatives of this acid have been prepared. Dianilide, colorless needles, m. 205-6°. Di-p-toluide, colorless needles, m. 198-9°. The acid converts α- and β-naphthylamine into α-and β-dinaphthylamine respectively and it fails to react with the nitranilines and with m-toluylenediamine.
IT 859957-85-0P, 2-Pyrrolidinecarboxy-p-toluide, 5-keto-2-methyl-1-p-tolyl- 860759-04-2P, 2-Pyrrolidinecarboxanilide, 5-keto-2-methyl-1-phenyl-
RL: PREP (Preparation)
(preparation of)
RN 859957-85-0 CAPLUS

CN 2-Pyrrolidinecarboxamide, 2-methyl-N,1-bis(4-methylphenyl)-5-oxo- (CA INDEX NAME)



RN 860759-04-2 CAPLUS
CN 2-Pyrrolidinecarboxamide, 2-methyl-5-oxo-N,1-diphenyl- (CA INDEX NAME)



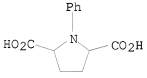
L3 ANSWER 72 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1909:10755 CAPLUS
DOCUMENT NUMBER: 3:10755
ORIGINAL REFERENCE NO.: 3:1992f-i,1993a
TITLE: Formation and Reactions of Imino Compounds. VIII. The formation of Methyl Derivatives of 2-Phenyl-1,3-Naphthalenediamine from the Three Tolylacetonitriles
AUTHOR(S): Best, S. R.; Thorpe, J. F.
SOURCE: Journal of the Chemical Society, Transactions (1909), 95, 261-73
CODEN: JCHTA3; ISSN: 0368-1645
DOCUMENT TYPE: Journal
LANGUAGE: Unavailable
GI For diagram(s), see printed CA Issue.
AB By condensing o-tolylacetonitrile with 1 mol. Na, finely divided by melting and shaking in xylene and pouring off the solvent, and a trace of EtOH, β-imino-α-cyano-α,γ-di-o-tolylpropane, MeC6H4CH2C(: NH)CH(CN)C6H4Me, is formed; viscous liquid, b13 270-80°. On washing the Et2O soluble of the above, after steam distillation, with dilute HCl, separating and treating with dilute Na2CO3, 6-amino-5-o-tolyl-2,4-di-o-methylbenzylpyrimidine, is secured. It separates from alc. in colorless needles, m. 1.40-1°. If this product is more desired than the first described the condensation can be carried out in an alc. soluble of NaOEt when it is obtained by filtering the soluble before distilling with steam. By treating the β-imino-α-cyano-α,γ-di-o-tolylpropane with cold concentrate H2SO4, 6-o-tolyl-1-methyl-5,7-naphthalenediamine is formed; colorless plates, m. 136°. Dihydrochloride and diacetyl derivative Similarly, 6-amino-5-m-tolyl-2.4-di-m-methylbenzylpyrimidine, m. 147°; small needles, and β-imino-α-cyano-α,γ-di-m-tolylpropane, b18 275-80° have been prepared. From the latter, by treatment with

H2SO4, 6-m-tolyl-2-methyl-5, 7-naphthylenediamine is made; small plates, m. 143°. This oxidizes by K3Cr2O7 to 4-methylphthalic acid. Dihydrochloride and diacetyl derivative, also the pyrimidine hydrochloride. The corresponding p-pyrimidine; concentric needles, m. 117-20°. Hydrochloride, β-imino-α-cyano-α,γ-di-p-tolylpropane, b18 280-83°. With H2SO4 it yields 7-p-tolyl-2-methyl-6,8-naphthalenediamine; glistening plates, m. 160°. Dihydrochloride and diacetyl derivative When the 3 β-imino-α cyano-α,γ-ditolylpropanes are treated with H2SO4 the binding influence of a Me group in the m-position on the formation of the naphthalene ring at a certain C atom is clearly seen. The yield from compounds where the Me-group is in the m-position is only 10% while with the other 80% is obtained.

IT 859957-82-7, 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl-
(and derivs., from adipic acid)

RN 859957-82-7 CAPLUS

CN 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl- (CA INDEX NAME)



L3 ANSWER 73 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1908:988 CAPLUS

DOCUMENT NUMBER: 2:988

ORIGINAL REFERENCE NO.: 2:278e-i,279a

TITLE: Condensation Products of Ethyl Levulinate, Hydrogen Cyanide and p-Substituted Anilines

AUTHOR(S): Weber, Hermann

CORPORATE SOURCE: Techn. Inst.;Univ. Berlin

SOURCE: Berichte der Deutschen Chemischen Gesellschaft (1908), 40, 4044-52
CODEN: BDCGAS; ISSN: 0365-9496

DOCUMENT TYPE: Journal

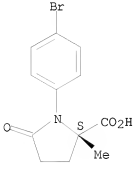
LANGUAGE: Unavailable

GI For diagram(s), see printed CA Issue.

AB Ethyl levulinate, hydrogen cyanide and p-chloraniline yield 1-p-chlorphenyl-2-methylpyrrolidone-carboxylic nitrile, crystalline, m. 40-2°. Amide, prismatic needles, m. 207°. Acids, colorless needles, m. 179°. Barium salt, broad prisms with 1H2O. Methyl ester, crystalline. p-Bromaniline yields, similarly, the nitrile, slender, yellow needles, m. 49-51°. Amide, prismatic rods, m. 208°. Carboxylic acid, slender, colorless, interlaced needles, m. 189°. Silver salt, short prisms. Barium salt, short, prismatic needles with 1H2O. Methyl ester, short prisms. The nitrile is converted by NH8O.HCl into γ-hydroxyiminovaleric acid. The nitrile from p-iodoaniline is an oil. Amide, prismatic needles, m. 222°. Carboxylic acid, thin, colorless needles, m. 211-2°. Silver salt, short prisms, becoming brown on exposure to light. Methyl ester, brown, viscid oil. p-Aminobenzonitrile, treated in the same manner as the anilines, forms a crystalline compound, m. 77°. The yield is very small and no well defined derivatives could be prepared. Ethyl levulinate, hydrogen cyanide and ethyl p-aminobenzoate combine, forming the open chain derivative, EtO2CC6H4NHCMe(CN)CH2CH2CO2Et; crystalline, m. 75°. It is converted by HCl into the carboxylic acid, prisms with 1H2O m. 228-9°. Amide, crystaline, m. 149°. Amide from methyl p-aminobenzoate, crystalline, m. 171-2°.

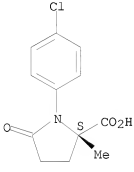
IT 904813-38-3, Proline, 1-(p-bromophenyl)-5-keto-2-methyl-
908578-57-4, Proline, 1-(p-chlorophenyl)-5-keto-2-methyl-
908578-68-7, Proline, 1-(p-iodophenyl)-5-keto-2-methyl-
(and derivs.)
RN 904813-38-3 CAPLUS
CN Proline, 1-(p-bromophenyl)-5-keto-2-methyl- (1CI) (CA INDEX NAME)

Absolute stereochemistry.



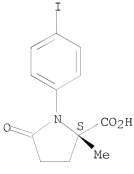
RN 908578-57-4 CAPLUS
CN Proline, 1-(p-chlorophenyl)-5-keto-2-methyl- (1CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 908578-68-7 CAPLUS
CN Proline, 1-(p-iodophenyl)-5-keto-2-methyl- (1CI) (CA INDEX NAME)

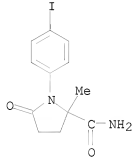
Absolute stereochemistry.



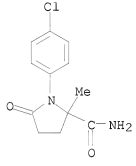
IT 859957-91-8P, 2-Pyrrolidinecarboxamide, 1-(p-iodophenyl)-5-keto-2-

methyl- 859957-93-0P, 2-Pyrrolidinecarboxamide,
1-(p-chlorophenyl)-5-keto-2-methyl- 860759-08-6P,
2-Pyrrolidinecarboxamide, 1-(p-carboxyphenyl)-5-keto-2-methyl-, methyl
ester 860759-10-0P, 2-Pyrrolidinecarboxamide,
1-(p-carboxyphenyl)-5-keto-2-methyl- 908578-59-6P, Proline,
1-(p-carboxyphenyl)-5-keto-2-methyl-
RL: PREP (Preparation)
(preparation of)

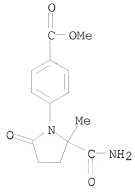
RN 859957-91-8 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-iodophenyl)-2-methyl-5-oxo- (CA INDEX NAME)



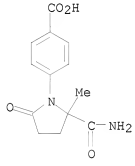
RN 859957-93-0 CAPLUS
CN 2-Pyrrolidinecarboxamide, 1-(4-chlorophenyl)-2-methyl-5-oxo- (CA INDEX NAME)



RN 860759-08-6 CAPLUS
CN Benzoic acid, 4-[2-(aminocarbonyl)-2-methyl-5-oxo-1-pyrrolidinyl]-, methyl ester (CA INDEX NAME)

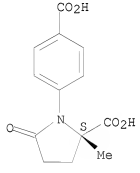


RN 860759-10-0 CAPLUS
CN Benzoic acid, 4-[2-(aminocarbonyl)-2-methyl-5-oxo-1-pyrrolidinyl]- (CA INDEX NAME)



RN 908578-59-6 CAPLUS
CN Proline, 1-(p-carboxyphenyl)-5-keto-2-methyl- (1CI) (CA INDEX NAME)

Absolute stereochemistry.



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=> FIL STNGUIDE

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	115.41	303.31

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE ENTRY TOTAL SESSION

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FILE CONTAINS CURRENT INFORMATION.
LAST RELOADED: Apr 18, 2008 (20080418/UP).

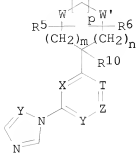
=> d l3 32-52 ibib abs hitstr
YOU HAVE REQUESTED DATA FROM FILE 'CAPLUS' - CONTINUE? (Y)/N:y

L3 ANSWER 32 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:510936 CAPLUS
DOCUMENT NUMBER: 145:8185
TITLE: Preparation of heterocyclic inhibitors of inducible nitric oxide synthase dimerization for therapeutic use
INVENTOR(S): Gahman, Timothy C.; Lang, Hengyuan; Herbert, Mark R.; Thayer, Angelina M.; Hassig, Christian A.; Noble, Stewart A.; Cousins, Russell D.; Zhuang, Hui; Santos, Christopher R.; Chen, Xiaohong
PATENT ASSIGNEE(S): Kalypsys, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 108 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060116515	A1	20060601	US 2005-288888	20051128
AU 2005311985	A1	20060608	AU 2005-311985	20051128
CA 2589433	A1	20060608	CA 2005-2589433	20051128
WO 2006060424	A2	20060608	WO 2005-US43190	20051128
WO 2006060424	A3	20070329		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1817030	A2	20070815	EP 2005-852447	20051128
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU				
IN 2007KN01890	A	20070810	IN 2007-KN1890	20070525
KR 2007084574	A	20070824	KR 2007-711898	20070525
CN 101123962	A	20080213	CN 2005-80040524	20070525
PRIORITY APPLN. INFO.:			US 2004-631971P P	20041201
			US 2005-672001P P	20050414

OTHER SOURCE(S): MARPAT 145:8185

GI



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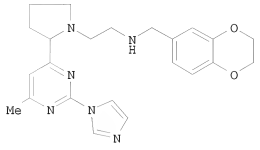


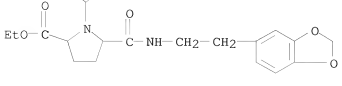
II

AB The present invention relates to compds. and methods useful as inhibitors of inducible nitric oxide synthase dimerization. Certain compds. of the subject invention have the following structural formula I: wherein T, V, X, and Y = CR4 and N; Z = CR3 and N; V = CR4 and N; W and W' = CH2, CR7R8, NR9, O, NO, S(O)q and CO; n, m and p = 0-5; q = 0-2; R3, R4, R10 = H, halogen, optionally substituted alkyl, haloalkoxy, etc.; and R7, R8, and R9 = H, halogen, optionally substituted alkyl, optionally substituted aralkyl,etc. Other compds. of the subject invention have structural formulas as defined in the invention. Also disclosed herein are pharmaceutical compns. comprising the compds. of the subject invention. The compds. of the invention can be used to inhibit or modulate NO synthesis and/or to lower NO levels in a patient. The preparation of the compds. is exemplified. For example, II was prepared from 2-[2-(2-imidazol-1-yl-6-methylpyrimidin-4-yl)pyrrolidin-1-yl]ethylamine and 2,3-dihydrobenzo[1,4]dioxin-6-carboxaldehyde. In HEK293 cells transiently transfected with human iNOS, II had an EC50 of <1μM.

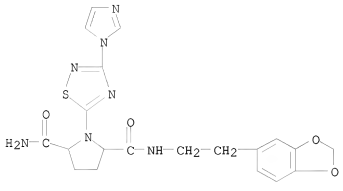
IT 888312-95-6P, 5-[[2-(Benzodioxol-5-yl)ethyl]carbamoyl]-1-[3-(imidazol-1-yl)-[1,2,4]thiadiazol-5-yl]pyrrolidine-2-carboxylic acid ethyl ester 888312-96-7P 888312-97-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of heterocyclic inhibitors of inducible nitric oxide synthase dimerization for therapeutic use)

RN 888312-95-6 CAPLUS

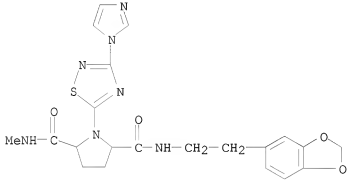
CN Proline, 5-[[[2-(1,3-benzodioxol-5-yl)ethyl]amino]carbonyl]-1-[3-(1H-imidazol-1-yl)-1,2,4-thiadiazol-5-yl]-, ethyl ester (CA INDEX NAME)



RN 888312-96-7 CAPLUS
CN 2,5-Pyrrolidinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-1-[3-(1H-imidazol-1-yl)-1,2,4-thiadiazol-5-yl]- (CA INDEX NAME)

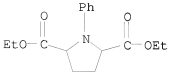


RN 888312-97-8 CAPLUS
CN 2,5-Pyrrolidinedicarboxamide, N2-[2-(1,3-benzodioxol-5-yl)ethyl]-1-[3-(1H-imidazol-1-yl)-1,2,4-thiadiazol-5-yl]-N5-methyl- (CA INDEX NAME)

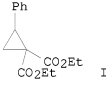


L3 ANSWER 33 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:359359 CAPLUS
Correction of: 2005:1110275
DOCUMENT NUMBER: 145:471313
Correction of: 143:346991
TITLE: Product class 10: γ-Lactams and larger ring lactams
AUTHOR(S): Smith, M. B.
CORPORATE SOURCE: Germany
SOURCE: Science of Synthesis (2005), 21, 647-711
CODEN: SSCYJ9
PUBLISHER: Georg Thieme Verlag
DOCUMENT TYPE: Journal; General Review
LANGUAGE: English
AB A review of the preparation of γ-lactams and larger ring lactams focusing on the use of acyclic and cyclic precursors.
IT 910810-49-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of γ-lactams and larger ring lactams)
RN 910810-49-0 CAPLUS

CN 2,5-Pyrrolidinedicarboxylic acid, 1-phenyl-, 2,5-diethyl ester (CA INDEX NAME)



L3 ANSWER 34 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:15992 CAPLUS
DOCUMENT NUMBER: 144:253959
TITLE: Scandium triflate catalyzed cycloaddition of imines with 1,1-cyclopropanediesters: efficient and diastereoselective synthesis of multisubstituted pyrrolidines
AUTHOR(S): Kang, Yan-Biao; Tang, Yong; Sun, Xiu-Li
CORPORATE SOURCE: State Key Laboratory of Organometallic Chemistry, Shanghai Institute of Organic Chemistry, Chinese Academy of Sciences, Shanghai, 200032, Peop. Rep. China
SOURCE: Organic & Biomolecular Chemistry (2006), 4(2), 299-301
CODEN: OBCRAK; ISSN: 1477-0520
PUBLISHER: Royal Society of Chemistry
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:253959
GI



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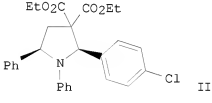


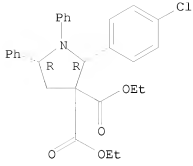
II

AB A tandem ring-opening-cyclization reaction of cyclopropanes with imines in the presence of 5 mol% of scandium triflate was developed for the highly diastereoselective synthesis of multisubstituted pyrrolidines. E.g., reaction of 1,1-cyclopropane diester I with PhN:CHC6H4Cl-4, catalyzed by scandium triflate, gave the cis isomer of pyrrolidine II.

IT 877035-09-1P
RL: SPN (Synthetic preparation); PREP (Preparation)
(diastereoselective synthesis of multisubstituted pyrrolidines by scandium triflate catalyzed cycloaddn. of imines with 1,1-cyclopropane diesters)

RN 877035-09-1 CAPLUS
CN 3,3-Pyrrolidinedicarboxylic acid, 2-(4-chlorophenyl)-1,5-diphenyl-, 3,3-diethyl ester, (2R,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.

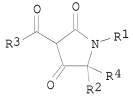


REFERENCE COUNT: 50 THERE ARE 50 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 35 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2006:2507 CAPLUS
DOCUMENT NUMBER: 144:412355
TITLE: Method of preparation 3-acylpyrrolidine-2,4-dione compounds as herbicides
INVENTOR(S): Yang, Huazheng; Zhu, Youquan; Zou, Xiaomao; Hu, Fangzhong; Liu, Bin; Yang, Xiufeng
PATENT ASSIGNEE(S): Nankai University, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 22 pp. CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1676515	A	20051005	CN 2005-10013206	20050317

PRIORITY APPLN. INFO.: CN 2005-10013206 20050317
OTHER SOURCE(S): CASREACT 144:412355; MARPAT 144:412355
GI



I

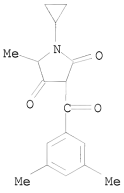
AB 3-Acylpyrrolidine-2,4-dione compds. I [R1= H, alkyl, alkoxyalkyl, haloalkyl, haloalkoxyalkyl, alkoxycarbonyl, alkenyl, haloalkenyl, substituted Ph, phenylalkyl; R2, R4= H, alkyl, alkoxy, phenylalkoxy, hydroxyalkyl, alkoxyalkyl, alkoxycarbonyl, haloalkyl, cyano; R3= H, alkyl, alkoxyalkyl, substituted heterocyclic, heterocyclic Ph, heterocyclic alkyl, heterocyclic alkoxy, heterocyclic alkylphenyl, Ph, phenoxyphenyl, phenylalkyl, aryl] useful as herbicides is prepared by treating substituted benzoylethyl acetate with Et N-substituted glycinate (at mole ratio of 1:1.5-3.0) at 60-100°C for 10-48 h, cooling to room temperature, adding sodium methoxide solution, and reacting at room temperature for 20-60 h.

IT 884493-97-4P
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN

(Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of acylpyrrolidine dione derivative as herbicides)

RN 884493-97-4 CAPLUS

CN 2,4-Pyrrolidinedione, 1-cyclopropyl-3-(3,5-dimethylbenzoyl)-5-methyl- (CA INDEX NAME)



L3 ANSWER 36 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:1300785 CAPLUS

DOCUMENT NUMBER: 145:27790

TITLE: Synthesis, properties, and antimicrobial activity of 3-hydrazones of 1-aryl-5-methyl-1,5-ethoxycarbonylpyrrolidine-2,3-diones

AUTHOR(S): Gein, V. L.; Gein, L. F.; Chirkova, M. V.; Mikhalev, V. A.; Voronina, E. V.

CORPORATE SOURCE: Perm State Pharmaceutical Academy, Perm, Russia

SOURCE: Pharmaceutical Chemistry Journal (2005), 39(8), 413-417
CODEN: PCJOAU; ISSN: 0091-150X

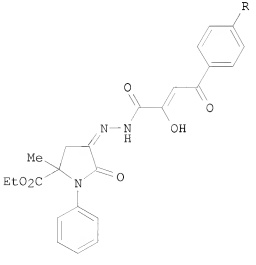
PUBLISHER: Springer

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 145:27790

GI

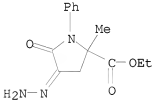


I

AB Reactions of 5-methyl-1-aryl-ethoxycarbonylpyrrolidine-2,3-diones with hydrazine hydrate, phenylhydrazine, isoniazid, benzoylhydrazine, and thiosemicarbazide were used to synthesize a series of corresponding 3-hydrazones. All target products were obtained with a yield of 51 - 90%. The reactions of 3-hydrazone of 1-phenyl-5-methyl-5-ethoxycarbonylpyrrolidine-2,3-dione with 5-aryl-2,3-dihydro-2,3-furandiones yielded 3-N-aroylpyruvoylhydrazones of 5-methyl-1-phenyl-5-ethoxycarbonylpyrrolidine-2,3-dione I (R = H or Me). The condensation of 3-hydrazone of 5-methyl-1-(4-chlorophenyl)-5-ethoxycarbonylpyrrolidine-2,3-dione with 4-methoxybenzaldehyde led to the 5-methyl-3-N-4-methoxybenzylidene-hydrazino-1-(4-chlorophenyl)-5-ethoxycarbonyl-3-pyrrolidin-2-one. The synthesized compds. were characterized by physicochem. consts. and the parameters of IR and 1H NMR spectra. Some compds. were tested for antimicrobial activity.

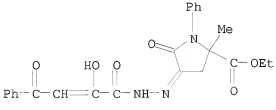
IT 889101-11-5P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antimicrobial activity of aroyl(pyruvoyl)hydrazones of (phenyl)ethoxycarbonyl(pyrrolidine)dione via its condensation with hydrazine followed by condensation with aryl(dihydro)furandiones)

RN 889101-11-5 CAPLUS
CN Proline, 4-hydrazono-2-methyl-5-oxo-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



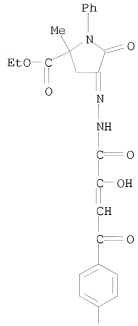
IT 889101-23-9P 889101-24-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antimicrobial activity of aroyl(pyruvoyl)hydrazones of (phenyl)ethoxycarbonyl(pyrrolidine)dione via its condensation with hydrazine followed by condensation with aryl(dihydro)furandiones)

RN 889101-23-9 CAPLUS
CN Proline, 4-[(2-hydroxy-1,4-dioxo-4-phenyl-2-butenyl)hydrazono]-2-methyl-5-oxo-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



RN 889101-24-0 CAPLUS
CN Proline, 4-[[2-hydroxy-4-(4-methylphenyl)-1,4-dioxo-2-butenyl]hydrazono]-2-methyl-5-oxo-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)

PAGE 1-A

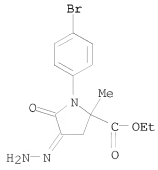


PAGE 2-A

IT 889101-13-7P 889101-14-8P 889101-15-9P
889101-19-3P 889101-22-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of hydrazones of (aryl)ethoxycarbonyl(pyrrolidine)diones via their condensation with substituted hydrazines)

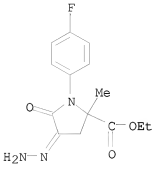
RN 889101-13-7 CAPLUS

CN Proline, 1-(4-bromophenyl)-4-hydrazono-2-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)

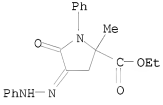


RN 889101-14-8 CAPLUS

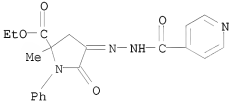
CN Proline, 1-(4-fluorophenyl)-4-hydrazono-2-methyl-5-oxo-, ethyl ester (9CI)
(CA INDEX NAME)



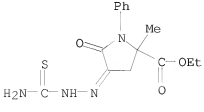
RN 889101-15-9 CAPLUS
CN Proline, 2-methyl-5-oxo-1-phenyl-4-(phenylhydrazono)-, ethyl ester (9CI)
(CA INDEX NAME)



RN 889101-19-3 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-[5-(ethoxycarbonyl)-5-methyl-2-oxo-1-phenyl-3-pyrrolidinylidene]hydrazide (CA INDEX NAME)



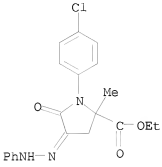
RN 889101-22-8 CAPLUS
CN Proline, 4-[(aminothioxomethyl)hydrazono]-2-methyl-5-oxo-1-phenyl-, ethyl ester (9CI) (CA INDEX NAME)



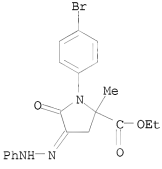
IT 889101-16-0P 889101-17-1P 889101-18-2P
889101-20-6P 889101-21-7P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation and antimicrobial activity of hydrazones of (aryl)ethoxycarbonyl(pyrrolidine)diones via their condensation with substituted hydrazines)

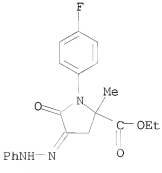
RN 889101-16-0 CAPLUS
CN Proline, 1-(4-chlorophenyl)-2-methyl-5-oxo-4-(phenylhydrazono)-, ethyl ester (9CI) (CA INDEX NAME)



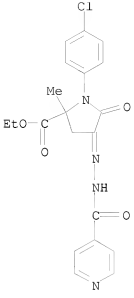
RN 889101-17-1 CAPLUS
CN Proline, 1-(4-bromophenyl)-2-methyl-5-oxo-4-(phenylhydrazono)-, ethyl ester (9CI) (CA INDEX NAME)



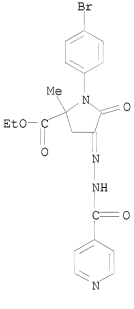
RN 889101-18-2 CAPLUS
CN Proline, 1-(4-fluorophenyl)-2-methyl-5-oxo-4-(phenylhydrazono)-, ethyl ester (9CI) (CA INDEX NAME)



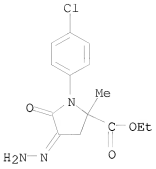
RN 889101-20-6 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-[1-(4-chlorophenyl)-5-(ethoxycarbonyl)-5-methyl-2-oxo-3-pyrrolidinylidene]hydrazide (CA INDEX NAME)



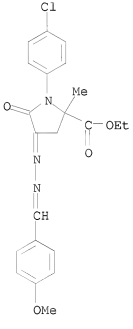
RN 889101-21-7 CAPLUS
CN 4-Pyridinecarboxylic acid, 2-[1-(4-bromophenyl)-5-(ethoxycarbonyl)-5-methyl-2-oxo-3-pyrrolidinylidene]hydrazide (CA INDEX NAME)



IT 889101-12-6P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent)
(preparation and antimicrobial activity of methoxy(benzylidene)hydrazone of (chlorophenyl)ethoxycarbonyl(pyrrolidine)dione via its condensation with hydrazine followed by condensation with (methoxy)benzaldehyde)
RN 889101-12-6 CAPLUS
CN Proline, 1-(4-chlorophenyl)-4-hydrazono-2-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



IT 889101-25-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
(preparation and antimicrobial activity of methoxy(benzylidene)hydrazone of (chlorophenyl)ethoxycarbonyl(pyrrolidine)dione via its condensation with hydrazine followed by condensation with (methoxy)benzaldehyde)
RN 889101-25-1 CAPLUS
CN Proline, 1-(4-chlorophenyl)-4-[[(4-methoxyphenyl)methylene]hydrazono]-2-methyl-5-oxo-, ethyl ester (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 37 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1269350 CAPLUS
DOCUMENT NUMBER: 145:8053
TITLE: Intramolecular 1,3-dipolar cycloaddition of azomethine ylides generated from ethoxycarbonyl carbenoids and Schiff bases
AUTHOR(S): Khlebnikov, A. F.; Novikov, M. S.; Kostikov, R. R.; Kopf, J.

CORPORATE SOURCE: St. Petersburg State University, St. Petersburg, 198504, Russia
SOURCE: Russian Journal of Organic Chemistry (2005), 41(9), 1341-1348
CODEN: RJOCEQ; ISSN: 1070-4280
PUBLISHER: Pleiades Publishing, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 145:8053

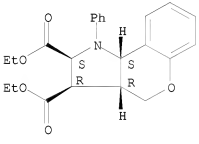
AB Decomposition of Et diazoacetate in the presence of copper, copper acetylacetonate, or copper trifluoroacetylacetonate and Et 4-(2-iminophenoxymethyl)-2-butenoates leads to formation of chromeno[4,3-b]pyrrole-2,3-dicarboxylic acid derivs. The reactions involve intermediate formation of azomethine ylides which undergo regio- and stereoselective intramol. cycloaddn. at the C:C bond to afford chromeno[4,3-b]pyrroles. The steric structure of the product depends on the configuration of intermediate ylide and nature of the substituent at the ylide nitrogen atom.

IT 887764-58-1P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation) (mol. structure; preparation of chromenopyrroles by stereoselective intramol. 1,3-dipolar cycloaddn. of azomethine ylides from ethoxycarbonyl carbenoids and Schiff bases)

RN 887764-58-1 CAPLUS

CN [1]Benzopyrano[4,3-b]pyrrole-2,3-dicarboxylic acid, 1,2,3,3a,4,9b-hexahydro-1-phenyl-, 2,3-diethyl ester, (2R,3S,3aS,9bR)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 38 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1163314 CAPLUS
DOCUMENT NUMBER: 144:88103
TITLE: Asymmetric Synthesis of Multifunctionalized Pyrrolines by a Ruthenium Porphyrin-Catalyzed Three-Component Coupling Reaction
AUTHOR(S): Xu, Hai-Wei; Li, Gong-Yong; Wong, Man-Kin; Che, Chi-Ming
CORPORATE SOURCE: Shanghai-Hong Kong Joint Laboratory in Chemical Synthesis, Shanghai Institute of Organic Chemistry, The Chinese Academy of Science, Shanghai, 200032, Peop. Rep. China
SOURCE: Organic Letters (2005), 7(24), 5349-5352
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

OTHER SOURCE(S): CASREACT 144:88103

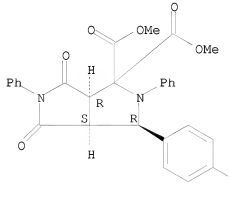
AB Chiral multifunctionalized pyrrolines have been synthesized by a ruthenium porphyrin catalyzed three-component coupling reaction. In a one-pot reaction, ruthenium porphyrins catalyzed in situ generation of chiral azomethine ylides from chiral diazo esters and imines. Asym. 1,3-dipolar cycloaddn. reactions of the chiral azomethine ylides with dipolarophiles afforded the pyrrolines in good yields and high diastereoselectivity (up to 92% de).

IT 871947-09-0P 872321-71-6P 872321-72-7P
872321-73-8P 872321-74-9P 872321-75-0P
RL: SPN (Synthetic preparation); PREP (Preparation)
(asym. synthesis of multifunctionalized pyrrolines by a ruthenium porphyrin-catalyzed three-component coupling reaction)

RN 871947-09-0 CAPLUS

CN Pyrrolo[3,4-c]pyrrole-1,1(2H)-dicarboxylic acid, hexahydro-3-(4-methylphenyl)-4,6-dioxo-2,5-diphenyl-, 1,1-dimethyl ester, (3R,3aS,6aR)-rel- (CA INDEX NAME)

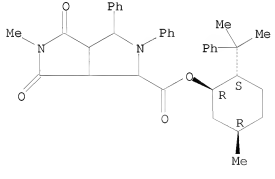
Relative stereochemistry.



RN 872321-71-6 CAPLUS

CN Pyrrolo[3,4-c]pyrrole-1-carboxylic acid, octahydro-5-methyl-4,6-dioxo-2,3-diphenyl-, (1R,2S,5R)-5-methyl-2-(1-methyl-1-phenylethyl)cyclohexyl ester (CA INDEX NAME)

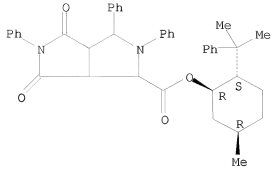
Absolute stereochemistry.



RN 872321-72-7 CAPLUS

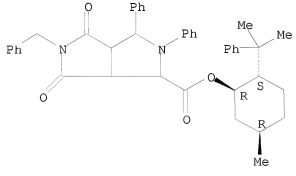
CN Pyrrolo[3,4-c]pyrrole-1-carboxylic acid, octahydro-4,6-dioxo-2,3,5-triphenyl-, (1R,2S,5R)-5-methyl-2-(1-methyl-1-phenylethyl)cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



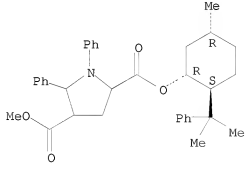
RN 872321-73-8 CAPLUS
CN Pyrrolo[3,4-c]pyrrole-1-carboxylic acid, octahydro-4,6-dioxo-2,3-diphenyl-5-(phenylmethyl)-, (1R,2S,5R)-5-methyl-2-(1-methyl-1-phenylethyl)cyclohexyl ester (CA INDEX NAME)

Absolute stereochemistry.



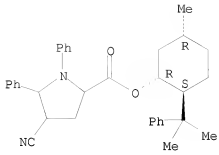
RN 872321-74-9 CAPLUS
CN 2,4-Pyrrolidinedicarboxylic acid, 1,5-diphenyl-, 4-methyl 2-[(1R,2S,5R)-5-methyl-2-(1-methyl-1-phenylethyl)cyclohexyl] ester (CA INDEX NAME)

Absolute stereochemistry.



RN 872321-75-0 CAPLUS
CN Proline, 4-cyano-1,5-diphenyl-, (1R,2S,5R)-5-methyl-2-(1-methyl-1-phenylethyl)cyclohexyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



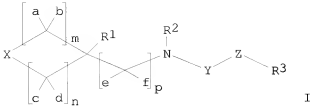
REFERENCE COUNT: 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 39 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:1123880 CAPLUS
DOCUMENT NUMBER: 143:405923
TITLE: Preparation of heterocycle- and benzene-containing sulfonamide derivatives as LDL receptor agonists
INVENTOR(S): Ban, Hitoshi; Asano, Shigehiro
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 233 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

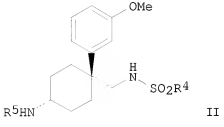
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005097738	A1	20051020	WO 2005-JP6977	20050404
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1736467	A1	20061227	EP 2005-728832	20050404
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR				

PRIORITY APPLN. INFO.: JP 2004-112503 A 20040406
WO 2005-JP6977 W 20050404

OTHER SOURCE(S): MARPAT 143:405923
GI



I



II

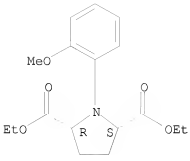
AB Enhancers for expression of low d. lipoprotein receptor containing the title compds. represented by the formula (I), prodrugs thereof, and their pharmaceutically acceptable salts [m, n, p = 0-4 and 3≤m+n≤8; X = O, S, each (un)substituted NH or CH2; R1 -R3 = H, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylsulfonyl, heteroarylsulfonyl, arylalkyl, or heteroarylalkyl; Y = SO2, optionally esterified P(O)(OH), CO; Z = O, S, (un)substituted NH, (CH2)q; q = 0-4; a, b, c, d, e, f = H, HO, each (un)substituted alkyl, alkoxy, alkoxycarbonyl, aryl, heteroaryl, arylcarbonyl, heteroarylcarbonyl, arylalkyl, heteroarylalkyl, arylalkyloxy, or heteroarylalkyloxy; or one or plural combination(s) of a and b, c and d, or e and f represent oxo; e and f represent thioxo; a and c represent alkylene] are disclosed. Drugs for treating hyperlipemia and arteriosclerosis containing the compds. I are also disclosed. Thus, a solution of 40 mg tert-Bu [[[2-[cis-4-amino-1-(3-methoxyphenyl)cyclohexyl]methyl]amino]sulfonyl]carbamate and 22.0 mg 1-benzyl-4-piperidone in 2 mL 1,2-dichloroethane was treated with 71.7 mg sodium triacetoxyborohydride and stirred overnight, followed by treatment of the product with CF3CO2H in CH2Cl2 to give N-[[cis-4-[(1-benzylpiperidin-4-yl)amino]-1-(3-methoxyphenyl)cyclohexyl]methyl]sulfonamide (II) (R4 = NH2, R5 = 1-benzyl-4-piperidinyl) (III). III and II (R4 = Me, R5 = 1,1'-biphenyl-4-ylmethyl) at 10 μM increased the uptake of 1,1'-dioctadecyl-3,3,3',3'-tetramethylindocarbocyanine perchlorate (DiI)-labeled human low d. lipoprotein in HepG2 cells by 230 and 238%, resp.

IT 850887-29-5P, Diethyl cis-1-(2-methoxyphenyl)pyrrolidine-2,5-dicarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of heterocycle- and benzene-containing sulfonamide derivs. as LDL
receptor agonists for treatment of hyperlipemia and arteriosclerosis)

RN 850887-29-5 CAPLUS

CN 2,5-Pyrrolidinedicarboxylic acid, 1-(2-methoxyphenyl)-, 2,5-diethyl ester, (2S,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.



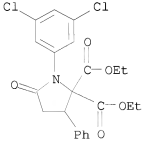
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 40 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:963979 CAPLUS
DOCUMENT NUMBER: 143:422221
TITLE: NaBH4-InCl3-Mediated One-Pot Chemo- and Stereoselective Decarboxylative Reduction of α-Aza gem-Dicarboxylic Esters to Monoalcohols
AUTHOR(S): Haldar, Pranab; Ray, Jayanta K.
CORPORATE SOURCE: Department of Chemistry, Indian Institute of Technology, Kharagpur, 721302, India
SOURCE: Organic Letters (2005), 7(20), 4341-4343
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:422221

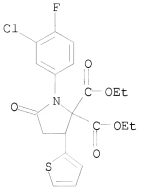
AB The combination of NaBH4 and a catalytic amount of InCl3 provides a one-pot method for chemo- and stereoselective decarboxylative reduction of gem-dicarboxylic esters to monoalcs. in the presence of the lactam carbonyl in refluxing acetonitrile under inert atmospheric

IT 868389-79-1 868389-80-4
RL: RCT (Reactant); RACT (Reactant or reagent)
(NaBH4-InCl3-mediated one-pot chemo- and stereoselective decarboxylative reduction of oxopyrrolidinedicarboxylates to oxopyrrolidinemethanols)

RN 868389-79-1 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-(3,5-dichlorophenyl)-5-oxo-3-phenyl-, 2,2-diethyl ester (CA INDEX NAME)

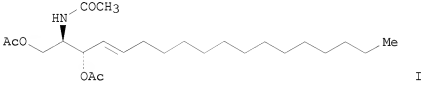


RN 868389-80-4 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-(3-chloro-4-fluorophenyl)-5-oxo-3-(2-thienyl)-, 2,2-diethyl ester (CA INDEX NAME)

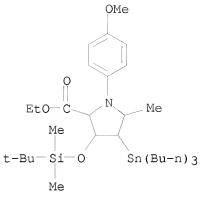


REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

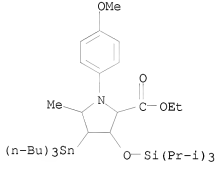
L3 ANSWER 41 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:943557 CAPLUS
DOCUMENT NUMBER: 144:292438
TITLE: Diastereoselective allylation of imines with γ-silyloxyallylstannanes promoted by trimethylsilyl triflate and application to the synthesis of erythro-sphingosine
AUTHOR(S): Shimizu, Makoto; Ando, Hiromi; Niwa, Yasuki
CORPORATE SOURCE: Department of Chemistry for Materials, Mie University, Mie, 514-8507, Japan
SOURCE: Letters in Organic Chemistry (2005), 2(6), 512-514
CODEN: LOCEC7; ISSN: 1570-1786
PUBLISHER: Bentham Science Publishers Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:292438
GI



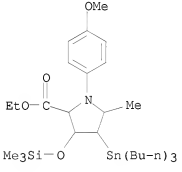
AB Addition of γ-silyloxyallylstannanes to imines in the presence of trimethylsilyl triflate was studied. Allylation of α-imino ester with (Z)-allylstannane proceeded to give anti-adduct as a major product, which was transformed into N,O,O-triacetyl erythro-sphingosine (I) via appropriate functional group transformations.
IT 879215-07-3P 879215-08-4P 879215-09-5P
879215-10-8P 879215-11-9P
RL: BYP (Byproduct); PREP (Preparation)
(diastereoselective allylation of imines with γ-silyloxyallylstannanes promoted by trimethylsilyl triflate and application to the synthesis of erythro-sphingosine)
RN 879215-07-3 CAPLUS
CN Proline, 3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(4-methoxyphenyl)-5-methyl-4-(tributylstannyl)-, ethyl ester (CA INDEX NAME)



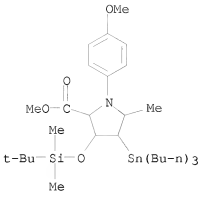
RN 879215-08-4 CAPLUS
CN Proline, 1-(4-methoxyphenyl)-5-methyl-4-(tributylstannyl)-3-[[tris(1-methylethyl)silyl]oxy]-, ethyl ester (CA INDEX NAME)



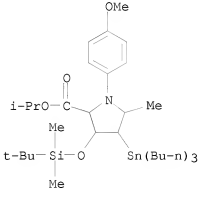
RN 879215-09-5 CAPLUS
CN Proline, 1-(4-methoxyphenyl)-5-methyl-4-(tributylstannyl)-3-[(trimethylsilyl)oxy]-, ethyl ester (CA INDEX NAME)



RN 879215-10-8 CAPLUS
CN Proline, 3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(4-methoxyphenyl)-5-methyl-4-(tributylstannyl)-, methyl ester (CA INDEX NAME)



RN 879215-11-9 CAPLUS
CN Proline, 3-[[(1,1-dimethylethyl)dimethylsilyl]oxy]-1-(4-methoxyphenyl)-5-methyl-4-(tributylstannyl)-, 1-methylethyl ester (CA INDEX NAME)



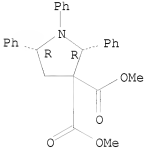
REFERENCE COUNT: 13 THERE ARE 13 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 42 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:921231 CAPLUS
DOCUMENT NUMBER: 143:367177
TITLE: Diastereoselective Synthesis of Pyrrolidines via the Yb(OTf)3 Catalyzed Three-Component Reaction of Aldehydes, Amines, and 1,1-Cyclopropanediesters
AUTHOR(S): Carson, Cheryl A.; Kerr, Michael A.
CORPORATE SOURCE: Department of Chemistry, University of Western Ontario, London, ON, N6A 5B7, Can.
SOURCE: Journal of Organic Chemistry (2005), 70(20), 8242-8244 CODEN: JOCEAH; ISSN: 0022-3263
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:367177
AB Aldimines, generated in situ by the reaction of primary amines or anilines with aldehydes, undergo smooth reaction with various 1,1-cyclopropanediesters in the presence of catalytic Yb(OTf)3. The products are pyrrolidines in which the major diastereomer bears a cis relationship between substituents at the 2- and 5-positions. In most cases the diastereoselectivity is greater than 10:1.
IT 866454-02-6P 866454-06-0P 866454-09-3P
866454-10-6P

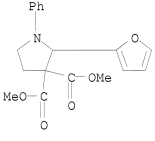
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of N-substituted pyrrolidines via Yb(OTf)3 catalyzed diastereoselective cycloaddn. of primary amines/anilines, aldehydes, and 1,1-cyclopropanediesters)

RN 866454-02-6 CAPLUS
CN 3,3-Pyrrolidinedicarboxylic acid, 1,2,5-triphenyl-, 3,3-dimethyl ester, (2R,5R)-rel- (CA INDEX NAME)

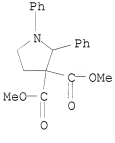
Relative stereochemistry.



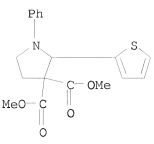
RN 866454-06-0 CAPLUS
CN 3,3-Pyrrolidinedicarboxylic acid, 2-(2-furanyl)-1-phenyl-, 3,3-dimethyl ester (CA INDEX NAME)



RN 866454-09-3 CAPLUS
CN 3,3-Pyrrolidinedicarboxylic acid, 1,2-diphenyl-, 3,3-dimethyl ester (CA INDEX NAME)



RN 866454-10-6 CAPLUS
CN 3,3-Pyrrolidinedicarboxylic acid, 1-phenyl-2-(2-thienyl)-, 3,3-dimethyl ester (CA INDEX NAME)



REFERENCE COUNT: 16 THERE ARE 16 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

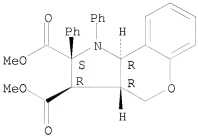
L3 ANSWER 43 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:779160 CAPLUS
DOCUMENT NUMBER: 144:488188
TITLE: New Tandem Reactions of Metal Carbenoids. Intermolecular Formation of Azomethine Ylide from Methyl 2-Diazo-2-phenylacetate and Schiff Base: Intramolecular 1,3-Dipolar Cycloaddition
AUTHOR(S): Khlebnikov, A. F.; Novikov, M. S.; Bespokoev, A. A.; Kostikov, R. R.; Kopf, J.; Starikova, Z. A.; Antipin, M. Yu.
CORPORATE SOURCE: St. Petersburg State University, St. Petersburg, 198504, Russia
SOURCE: Russian Journal of Organic Chemistry (2005), 41(6), 922-932
CODEN: RJOCEQ; ISSN: 1070-4280
PUBLISHER: Pleiades Publishing, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 144:488188

AB Rhodium acetate-catalyzed decomposition of Me 2-diazo-2-phenylacetate in the presence of substituted N-methylbenzylideneamines possessing an activated alkenyl fragment (dipolarophile) in the side chain gives products of intramol. cycloaddn. of intermediate Z,E- and E,Z-azomethine ylides. The cycloaddn. is regioselective, and the products are hexahydrochromeno[4,3-b]pyrrole derivs. The stereoselectivity of the process depends on the temperature In the temperature range from 20 to 80°C, the major stereoisomer is that with cis junction of the tetrahydropyran and pyrrolidine rings. N-Phenylazomethine ylides generated from Me 2-diazo-2-phenylacetate and alkyl 4-[2-(phenyliminomethyl)phenoxy]-2-butenoates at 40°C undergo cyclization to aziridines at a higher rate, as compared to the rate of cycloaddn. to the internal dipolarophile. N-Phenylazomethine ylides generated by thermolysis of the corresponding aziridine or by the "deprotonation" method react with equal regio- and stereoselectivity to give intramol. cycloaddn. products, hexahydrochromeno[4,3-b]pyrrole derivs. with trans-fused tetrahydropyran and pyrrolidine rings. Anal. of the exptl. and calcn. data suggests preference of the endo transition state in the cycloaddn. of the examined azomethine ylides.

IT 887487-85-6P
RL: PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(crystallog.; regio- and stereoselective intramol. 1,3-dipolar cycloaddn. of azomethine ylide from Me 2-diazo-2-phenylacetate and Schiff base)

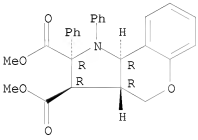
RN 887487-85-6 CAPLUS
CN [1]Benzopyrano[4,3-b]pyrrole-2,3-dicarboxylic acid, 1,2,3,3a,4,9b-hexahydro-1,2-diphenyl-, 2,3-dimethyl ester, (2R,3S,3aS,9bS)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 887487-87-8P
RL: BYP (Byproduct); PUR (Purification or recovery); PREP (Preparation)
(regio- and stereoselective intramol. 1,3-dipolar cycloaddn. of azomethine ylide from Me 2-diazo-2-phenylacetate and Schiff base)
RN 887487-87-8 CAPLUS
CN [1]Benzopyrano[4,3-b]pyrrole-2,3-dicarboxylic acid, 1,2,3,3a,4,9b-hexahydro-1,2-diphenyl-, 2,3-dimethyl ester, (2R,3R,3aR,9bR)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 17 THERE ARE 17 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 44 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:618408 CAPLUS
DOCUMENT NUMBER: 143:386609
TITLE: Proximity effects: the observation by 1H NMR of steric compression of the methano bridge protons of polycyclic norbornanes possessing adjacent carbon, oxygen and nitrogen bridges
AUTHOR(S): Margetic, Davor; Johnston, Martin R.; Warrener, Ronald N.; Butler, Douglas N.
CORPORATE SOURCE: Centre for Molecular Architecture, Central Queensland University, Queensland, 4701, Australia
SOURCE: International Electronic Conferences on Synthetic Organic Chemistry, 5th, 6th, Sept. 1-30, 2001 and 2002 [and] 7th, 8th, Nov. 1-30, 2003 and 2004 (2004), 1420-1428. Editor(s): Seijas, Julio A. Molecular Diversity Preservation International: Basel, Switz. CODEN: 69GTCO
DOCUMENT TYPE: Conference; (computer optical disk)
LANGUAGE: English
OTHER SOURCE(S): CASREACT 143:386609
AB Proximity effects of neighboring heteroatom bridges (oxygen, nitrogen)

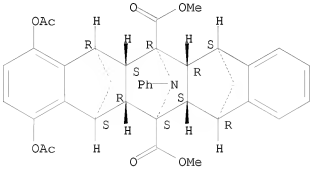
cause steric compression shifts in the 1H NMR chemical shifts of the bridge methano protons in fused norbornanes. This observation provides a simple 2-dimensional NMR method for elucidating the stereochem. outcome of cycloaddn. reactions that produce fused norbornane structures.

IT 866625-96-9
RL: PRP (Properties)
(proximity effects and 1H NMR observations of steric compression of methano bridge protons of polycyclic norbornanes possessing adjacent carbon, oxygen and nitrogen bridges)

RN 866625-96-9 CAPLUS

CN 5,14:7,12-Dimethanopentacen-6,13-imine-6,13-dicarboxylic acid, 1,4-bis(acetyloxy)-5,5a,6a,7,12,12a,13a,14-octahydro-16-phenyl-, dimethyl ester, (5R,5aS,6R,6aR,7S,12R,12aS,13S,13aR,14S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 15 THERE ARE 15 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 45 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:449667 CAPLUS

DOCUMENT NUMBER: 142:481953

TITLE: Preparation of pyridylpyrrolidinedicarboxamides, asymmetric acylation catalysts containing them, and preparation of optically active compounds using them

INVENTOR(S): Kawabata, Takeo

PATENT ASSIGNEE(S): Tokuyama Corp., Japan

SOURCE: Jpn. Kokai Tokkyo Koho, 19 pp.
CODEN: JKXXAF

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

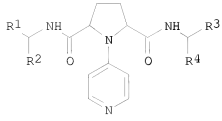
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005132746	A	20050526	JP 2003-368239	20031029
PRIORITY APPLN. INFO.:			JP 2003-368239	20031029

OTHER SOURCE(S): MARPAT 142:481953

GI



I

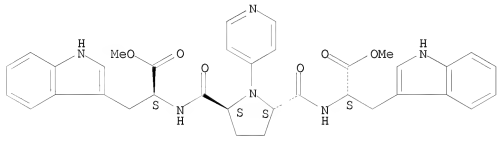
AB The carboxamides I [R1, R3 = H, C1-6 alkoxycarbonyl; R2, R4 = H, C≤10 aromatic hydrocarbyl, (C≤10 aromatic heterocycle-substituted) C≤6 alkyl; R1 = R2 ≠ H; R3 = R4 ≠ H] are prepared by amidation of 1-(4-pyridyl)pyrrolidine-2,5-dicarboxylic acid with H2NCHR1R2 (R1, R2 = same as I) and H2NCHR3R4 (R3, R4 = same as I) using condensing agents. The catalysts comprise I with ≥50% optical purity. Meso-1,2-cyclohexanediol was acylated by isobutyric anhydride in the presence of (2S,5S)-1-(4-pyridyl)-2,5-bis[N-[(1S)-1-methoxycarbonyl-2-(3-indolyl)ethyl]carbamoyl]pyrrolidine and 2,4,6-collidine in CHCl3 at 20° for 4 h to give monoester with 73% ee and 85% selectivity.

IT 852204-84-3P 852204-85-4P 852204-86-5P 852204-87-6P 852204-88-7P 852204-89-8P 852204-90-1P
RL: CAT (Catalyst use); SPN (Synthetic preparation); PREP (Preparation); USES (Uses)
(preparation of optically active pyridylpyrrolidinedicarboxamides as asym. acylation catalysts)

RN 852204-84-3 CAPLUS

CN L-Tryptophan, N,N'-[[(2S,5S)-1-(4-pyridinyl)-2,5-pyrrolidinediyl]dicarbonyl]bis-, dimethyl ester (9CI) (CA INDEX NAME)

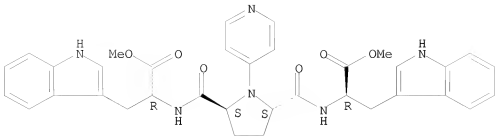
Absolute stereochemistry. Rotation (-).



RN 852204-85-4 CAPLUS

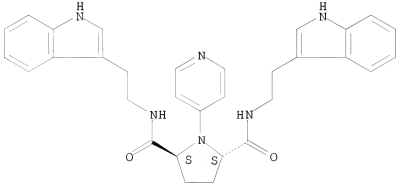
CN D-Tryptophan, N,N'-[[(2S,5S)-1-(4-pyridinyl)-2,5-pyrrolidinediyl]dicarbonyl]bis-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



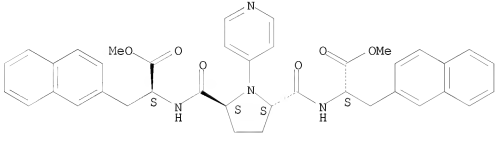
RN 852204-86-5 CAPLUS
CN 2,5-Pyrrolidinedicarboxamide, N2,N5-bis[2-(1H-indol-3-yl)ethyl]-1-(4-pyridinyl)-, (2S,5S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



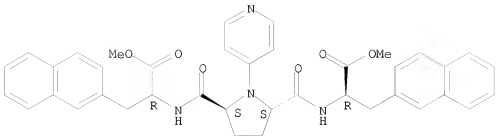
RN 852204-87-6 CAPLUS
CN 2-Naphthalenepropanoic acid, α,α'-[[(2S,5S)-1-(4-pyridinyl)-2,5-pyrrolidinediyl]bis(carbonylimino)]bis-, dimethyl ester, (αS,α'S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



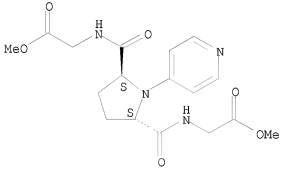
RN 852204-88-7 CAPLUS
CN 2-Naphthalenepropanoic acid, α,α'-[[(2S,5S)-1-(4-pyridinyl)-2,5-pyrrolidinediyl]bis(carbonylimino)]bis-, dimethyl ester, (αR,α'R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



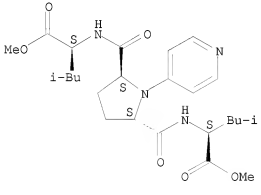
RN 852204-89-8 CAPLUS
CN Glycine, N,N'-[[(2S,5S)-1-(4-pyridinyl)-2,5-pyrrolidinediyl]dicarbonyl]bis-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

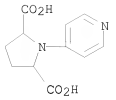


RN 852204-90-1 CAPLUS
CN L-Leucine, N,N'-[[(2S,5S)-1-(4-pyridinyl)-2,5-pyrrolidinediyl]dicarbonyl]bis-, dimethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



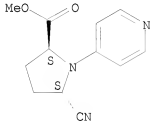
IT 852204-92-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of optically active pyridylpyrrolidinedicarboxamides as asym. acylation catalysts)
RN 852204-92-3 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-pyridinyl)- (CA INDEX NAME)



IT 852204-80-9P 852204-81-0P 852204-82-1P
852204-83-2P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of optically active pyridylpyrrolidinedicarboxamides as asym. acylation catalysts)

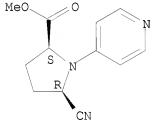
RN 852204-80-9 CAPLUS
CN L-Proline, 5-cyano-1-(4-pyridinyl)-, methyl ester, (5S)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



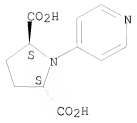
RN 852204-81-0 CAPLUS
CN L-Proline, 5-cyano-1-(4-pyridinyl)-, methyl ester, (5R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 852204-82-1 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-pyridinyl)-, hydrochloride (1:1), (2S,5S)- (CA INDEX NAME)

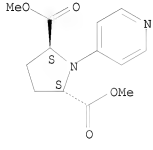
Absolute stereochemistry. Rotation (-).



● HCl

RN 852204-83-2 CAPLUS
CN 2,5-Pyrrolidinedicarboxylic acid, 1-(4-pyridinyl)-, 2,5-dimethyl ester, (2S,5S)- (CA INDEX NAME)

Absolute stereochemistry.



L3 ANSWER 46 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:369273 CAPLUS
DOCUMENT NUMBER: 142:430299
TITLE: Preparation of novel piperidine and cyclohexanecarbonitrile derivatives effective in enhancing LDL receptor manifestation
INVENTOR(S): Ban, Hitoshi; Ohnuma, Satoshi; Tsuboya, Norie; Asano, Shigehiro
PATENT ASSIGNEE(S): Sumitomo Pharmaceuticals Co., Ltd., Japan
SOURCE: PCT Int. Appl., 209 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005037269	A1	20050428	WO 2004-JP15773	20041019
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,			

SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

EP 1679069 A1 20060712 EP 2004-792910 20041019

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

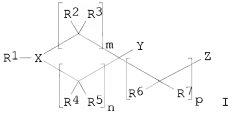
US 20070078120 A1 20070405 US 2006-576581 20060420

PRIORITY APPLN. INFO.: JP 2003-361256 A 20031021

WO 2004-JP15773 W 20041019

OTHER SOURCE(S): MARPAT 142:430299

GI



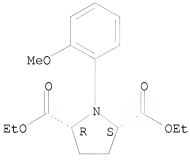
AB Drugs for enhancing LDL receptor manifestation contains compds. represented by the following formula (I), prodrugs thereof, or pharmaceutically acceptable salts of either [m, n, p = 0-4, provided that 3≤m+n≤8; X = N, each (un)substituted CH; Y = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group, COY; R1 = H, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, 3- to 8-membered saturated heterocyclyl containing one (un)substituted NH or O, aromatic group, COR14; R14 = each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group; R2-R7 = H, OH, each (un)substituted alkyl, alkoxy, alkoxycarbonyl, aralkyl, heteroarylalkyl, aralkyloxy, or heteroarylalkyloxy; or one or a plural combination of R2 and R3, R4 and R5, or R6 and R7 = oxo; or R2 and R4 together = alkylene; two of R2-R5 are on the adjacent carbon atom to form a double bond; Z = H, OH, CO2H, cyano, phthalimido, halo, each (un)substituted alkyl, alkenyl, alkynyl, cycloalkyl, or aromatic group, etc.] as active ingredients. These compds. are effective in enhancing low d. lipoprotein (LDL) receptor manifestation and lowering blood concentration of LDL cholesterol and are useful as therapeutic agents for treating hyperlipemia and arteriosclerosis. Thus, 0.019 mL benzyl bromide was added to a suspension of 40 mg 4-(3-methoxyphenyl)-1,4'-bipiperidine-4-carbonitrile dihydrochloride and 92.6 mg K2CO3 in 1.0 mL DMF under ice-cooling, and the resulting mixture was warmed to room temperature, stirred overnight, and quenched by adding water to give, after workup and silica gel chromatog., 15.6 mg 1'-benzyl-4-(3-methoxyphenyl)-1,1'-bipiperidine-4-carbonitrile (II). II at 10 μM and N-benzyl-4-(3-methoxyphenyl)-1-(pyrimidin-2-yl)piperidine-4-carbothioamide at 3 μM enhanced the LDL receptor activity by 135 and 195%, resp.

IT 850887-29-5P, Diethyl cis-1-(2-methoxyphenyl)pyrrolidine-2,5-dicarboxylate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of novel piperidine and cyclohexanecarbonitrile derivs. as enhancers for LDL receptor manifestation, hypolipidemics, and antiarteriosclerotics)

RN 850887-29-5 CAPLUS

CN 2,5-Pyrrolidinedicarboxylic acid, 1-(2-methoxyphenyl)-, 2,5-diethyl ester, (2S,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 59 THERE ARE 59 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 47 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:238948 CAPLUS
DOCUMENT NUMBER: 142:317078
TITLE: Preparation of pyrrolidine-2-carbonitrile derivatives and their use as inhibitors of dipeptidyl peptidase-IV (DPP-IV)
INVENTOR(S): Pei, Zhonghua; Li, Xiaofeng; Longenecker, Kenton L.; Sham, Hing L.; Wiedeman, Paul E.
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 182 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005023762	A1	20050317	WO 2004-US28886	20040907

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-655428 A 20030904
OTHER SOURCE(S): CASREACT 142:317078; MARPAT 142:317078

AB The invention relates to 1-(5-ROCH2-substituted prolyl)pyrrolidine-2-carbonitriles (R is substituted Ph, 2-, 3-, or 4-pyridyl, or 4-quinolyl) or their pharmaceutically-acceptable salts or prodrugs, which inhibit dipeptidyl peptidase IV (DPP-IV) and are useful for the prevention or treatment of diabetes, especially type II diabetes, as well as hyperglycemia, syndrome X, hyperinsulinemia, β-cell failure, obesity, satiety disorders, atherosclerosis, and various immunomodulatory diseases. Thus, (2S)-1-[(5R)-5-[(4-carboxynaphthalen-1-yloxy)methyl]-L-prolyl]pyrrolidine-2-carbonitrile, prepared via N-acylation and etherification reactions, was

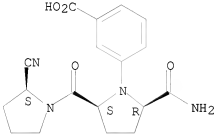
evaluated for ability to treat diabetes using an acute oral glucose tolerance test (δ AUGC = 7,600 mg/mL/dL at 1.0 mg/kg vs. 9,900 mg/mL/dL for the control).

IT 847942-13-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyrrolidinecarbonitrile derivs. as inhibitors of dipeptidyl peptidase-IV)

RN 847942-13-6 CAPLUS

CN Benzoic acid, 3-[(2R,5S)-2-(aminocarbonyl)-5-[[(2S)-2-cyano-1-pyrrolidinyl]carbonyl]-1-pyrrolidinyl]- (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 48 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 2005:86460 CAPLUS

DOCUMENT NUMBER: 142:328905

TITLE: Structure-based design of potent and selective inhibitors of collagenase-3 (MMP-13)

AUTHOR(S): Kim, Soong-Hoon; Pudzianowski, Andrew T.; Leavitt, Kenneth J.; Barbosa, Joseph; McDonnell, Patricia A.; Metzler, William J.; Rankin, Bruce M.; Liu, Richard; Vaccaro, Wayne; Pitts, William

CORPORATE SOURCE: Bristol Myers Squibb Co., Pharmaceutical Research Institute, Princeton, NJ, 08560, USA

SOURCE: Bioorganic & Medicinal Chemistry Letters (2005), 15(4), 1101-1106
CODEN: BMCLE8; ISSN: 0960-894X

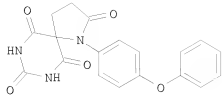
PUBLISHER: Elsevier B.V.

DOCUMENT TYPE: Journal

LANGUAGE: English

OTHER SOURCE(S): CASREACT 142:328905

GI



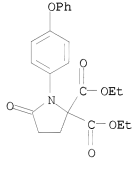
I

AB Computer aided drug design led to a new class of spiro-barbiturates (e.g., I, MMP-13 Ki = 4.7 nM) that are potent inhibitors of MMP-13.

IT 848773-40-0P 848773-41-1P 848773-42-2P
848773-48-8P 848773-49-9P 848773-50-2P
848773-51-3P 848773-52-4P 848773-53-5P
848773-54-6P 848773-55-7P 848773-56-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(Structure-based design of potent and selective inhibitors of collagenase-3)

RN 848773-40-0 CAPLUS

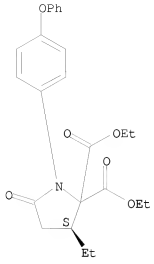
CN 2,2-Pyrrolidinedicarboxylic acid, 5-oxo-1-(4-phenoxyphenyl)-, 2,2-diethyl ester (CA INDEX NAME)



RN 848773-41-1 CAPLUS

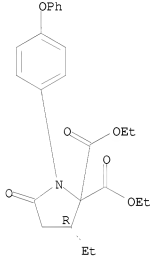
CN 2,2-Pyrrolidinedicarboxylic acid, 3-ethyl-5-oxo-1-(4-phenoxyphenyl)-, 2,2-diethyl ester, (3S)- (CA INDEX NAME)

Absolute stereochemistry.

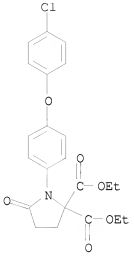


RN 848773-42-2 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 3-ethyl-5-oxo-1-(4-phenoxyphenyl)-, 2,2-diethyl ester, (3R)- (CA INDEX NAME)

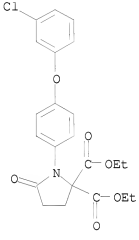
Absolute stereochemistry.



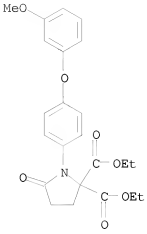
RN 848773-48-8 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-(4-chlorophenoxy)phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



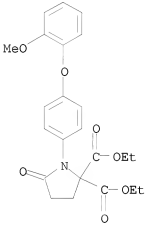
RN 848773-49-9 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-(3-chlorophenoxy)phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



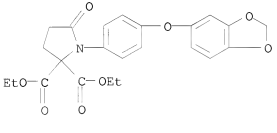
RN 848773-50-2 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-(3-methoxyphenoxy)phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



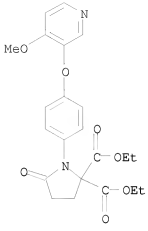
RN 848773-51-3 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-(2-methoxyphenoxy)phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



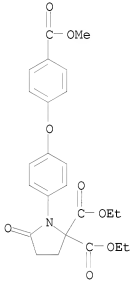
RN 848773-52-4 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-(1,3-benzodioxol-5-yloxy)phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



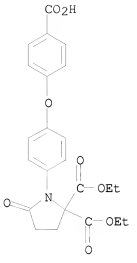
RN 848773-53-5 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-[(4-methoxy-3-pyridinyl)oxy]phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



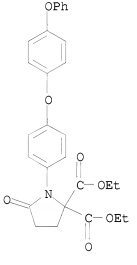
RN 848773-54-6 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-[4-(methoxycarbonyl)phenoxy]phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



RN 848773-55-7 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 1-[4-(4-carboxyphenoxy)phenyl]-5-oxo-, 2,2-diethyl ester (CA INDEX NAME)



RN 848773-56-8 CAPLUS
CN 2,2-Pyrrolidinedicarboxylic acid, 5-oxo-1-[4-(4-phenoxyphenoxy)phenyl]-, 2,2-diethyl ester (CA INDEX NAME)



REFERENCE COUNT: 39 THERE ARE 39 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 49 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:85523 CAPLUS
DOCUMENT NUMBER: 142:336502
TITLE: Diastereoselective Synthesis of Pyrrolidines Using a Nitrone/Cyclopropane Cycloaddition: Synthesis of the Tetracyclic Core of Nakadomarin A
AUTHOR(S): Young, Ian S.; Williams, Justin L.; Kerr, Michael A.
CORPORATE SOURCE: Department of Chemistry, The University of Western Ontario, London, ON, N6A 5B7, Can.
SOURCE: Organic Letters (2005), 7(5), 953-955
CODEN: ORLEF7; ISSN: 1523-7060
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal

LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:336502
GI

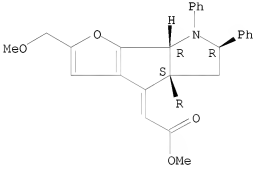
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The synthesis of the tetracyclic core, I, of nakadomarin A is described. The core contains all the heterocycles and the required stereocenters found in the natural product and provides a promising route to the target itself. The strategy utilizes a general, diastereoselective pyrrolidine synthesis that proceeds via a homo 3 + 2 dipolar cycloaddn. For example, the intermediate amino alc. II was generated by cleavage of the N-O bond of the oxazine III and recyclization of II gave a tricyclic pyrrolidine which was subsequently transformed into I. The scope of this methodol. is also described.

IT 848586-89-0P 848586-91-4P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(diastereoselective synthesis of pyrrolidines via nitrone/cyclopropane cycloaddn. and application to synthesis of tetracyclic core of nakadomarin A)

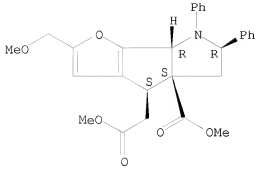
RN 848586-89-0 CAPLUS
CN Furo[3',2':4,5]cyclopenta[1,2-b]pyrrole-4a(4H)-carboxylic acid, 5,6,7,7a-tetrahydro-2-(methoxymethyl)-4-(2-methoxy-2-oxoethylidene)-6,7-diphenyl-, methyl ester, (4aR,6S,7aS)-rel- (CA INDEX NAME)

Relative stereochemistry.
Double bond geometry unknown.



RN 848586-91-4 CAPLUS
CN Furo[3',2':4,5]cyclopenta[1,2-b]pyrrole-4(4H)-acetic acid, 5,6,7,7a-tetrahydro-4a-(methoxycarbonyl)-2-(methoxymethyl)-6,7-diphenyl-, methyl ester, (4R,4aR,6S,7aS)-rel- (CA INDEX NAME)

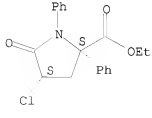
Relative stereochemistry.



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 50 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:76721 CAPLUS
DOCUMENT NUMBER: 142:430075
TITLE: Synthesis of ethyl cis- and trans-4-chloro-5-oxo-1,2-diphenyl-pyrrolidine-2-carboxylate
AUTHOR(S): Martirosyan, A. O.; Hovhanesyan, V. E.; Gasparyan, S. P.; Karapetyan, A. A.; Panosyan, G. A.; Martirosyan, V. O.
CORPORATE SOURCE: A. L. Mndzhoyan Institute of Fine Chemistry, Armenian Republic National Academy of Sciences, Yerevan, 375014, Armenia
SOURCE: Chemistry of Heterocyclic Compounds (New York, NY, United States) (2004), 40(8), 1007-1008
CODEN: CHCCAL; ISSN: 0009-3122
PUBLISHER: Springer Science+Business Media, Inc.
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:430075
AB Et cis- and trans-4-chloro-5-oxo-1,2-diphenylpyrrolidine-2-carboxylate were synthesized by the cyclization of Et N-(α,β-dichloropropionyl)-N-phenyl-α-aminophenylacetate.
IT 850836-21-4P 850836-22-5P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of Et cis- and trans-4-chloro-5-oxo-1,2-diphenyl-pyrrolidine-2-carboxylate by cyclization of Et N-(α,β-dichloropropionyl)-N-phenyl-α-aminophenylacetate)
RN 850836-21-4 CAPLUS
CN D-Proline, 4-chloro-5-oxo-1,2-diphenyl-, ethyl ester, (4S)-rel- (CA INDEX NAME)

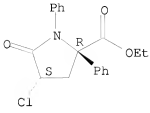
Relative stereochemistry.



RN 850836-22-5 CAPLUS
CN D-Proline, 4-chloro-5-oxo-1,2-diphenyl-, ethyl ester, (4R)-rel- (9CI) (CA

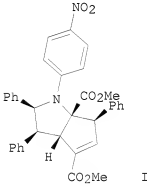
INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 51 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:8762 CAPLUS
DOCUMENT NUMBER: 142:219110
TITLE: Stereoselective synthesis of bicyclic pyrrolidines by a rhodium-catalyzed cascade process
AUTHOR(S): Yan, Ming; Jacobsen, Neil; Hu, Wenhao; Gronenberg, Luisa S.; Doyle, Michael P.; Colyer, John T.; Bykowski, Darren
CORPORATE SOURCE: Department of Chemistry, University of Maryland, College Park, MD, 20742, USA
SOURCE: Angewandte Chemie, International Edition (2004), 43(48), 6713-6716
CODEN: ACIEF5; ISSN: 1433-7851
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:219110
GI



I

AB Multiple C-C bonds were formed in an unprecedented reaction of an ylide with an excess of a rhodium-stabilized carbene. The cascade cyclization of the intermediates, formed from the three components, gave bicyclic pyrrolidines, e.g., I, with excellent diastereoselectivity.

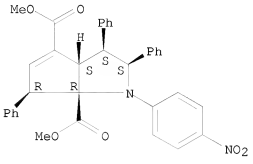
IT 844437-12-3P
RL: PRP (Properties); SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation and crystal structure of N-(nitrophenyl)triphenylazabicyclooctenedicarboxylate via rhodium-catalyzed stereoselective cyclization of N-

(benzylidene)nitroaniline with diazo(phenyl)butenoate)

RN 844437-12-3 CAPLUS

CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1-(4-nitrophenyl)-2,3,6-triphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.

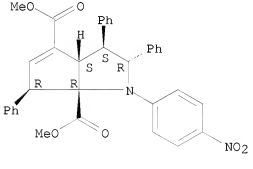


IT 844437-13-4P 844437-14-5P 844437-15-6P
844437-16-7P 844437-17-8P 844437-18-9P
844437-19-0P 844437-20-3P 844437-21-4P
844437-22-5P 844437-23-6P 844437-24-7P
844437-25-8P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of tetra(aryl)azabicyclooctenedicarboxylates via rhodium-catalyzed stereoselective cyclization of N-(benzylidene)anilines with diazo(phenyl)butenoate)

RN 844437-13-4 CAPLUS

CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1-(4-nitrophenyl)-2,3,6-triphenyl-, 4,6a-dimethyl ester, (2R,3S,3aS,6R,6aR)- (CA INDEX NAME)

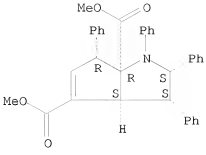
Absolute stereochemistry.



RN 844437-14-5 CAPLUS

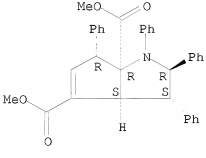
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1,2,3,6-tetraphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



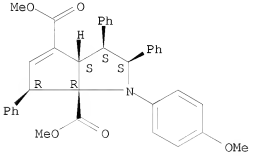
RN 844437-15-6 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1,2,3,6-tetraphenyl-, 4,6a-dimethyl ester, (2R,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



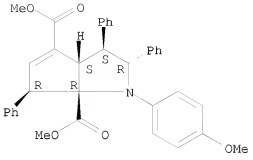
RN 844437-16-7 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1-(4-methoxyphenyl)-2,3,6-triphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



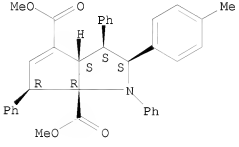
RN 844437-17-8 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1-(4-methoxyphenyl)-2,3,6-triphenyl-, 4,6a-dimethyl ester, (2R,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



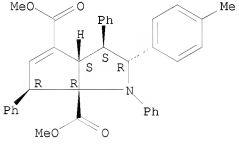
RN 844437-18-9 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-2-(4-methylphenyl)-1,3,6-triphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)-(CA INDEX NAME)

Absolute stereochemistry.



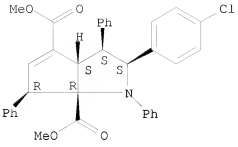
RN 844437-19-0 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-2-(4-methylphenyl)-1,3,6-triphenyl-, 4,6a-dimethyl ester, (2R,3S,3aS,6R,6aR)-(CA INDEX NAME)

Absolute stereochemistry.



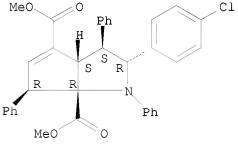
RN 844437-20-3 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2-(4-chlorophenyl)-2,3,3a,6-tetrahydro-1,3,6-triphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



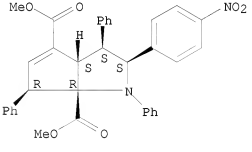
RN 844437-21-4 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2-(4-chlorophenyl)-2,3,3a,6-tetrahydro-1,3,6-triphenyl-, 4,6a-dimethyl ester, (2R,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



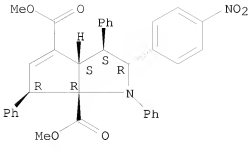
RN 844437-22-5 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-2-(4-nitrophenyl)-1,3,6-triphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



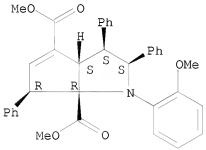
RN 844437-23-6 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-2-(4-nitrophenyl)-1,3,6-triphenyl-, 4,6a-dimethyl ester, (2R,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



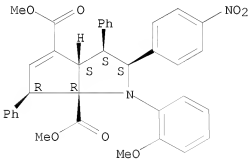
RN 844437-24-7 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1-(2-methoxyphenyl)-2,3,6-triphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)- (CA INDEX NAME)

Absolute stereochemistry.



RN 844437-25-8 CAPLUS
CN Cyclopenta[b]pyrrole-4,6a(1H)-dicarboxylic acid, 2,3,3a,6-tetrahydro-1-(2-methoxyphenyl)-2-(4-nitrophenyl)-3,6-diphenyl-, 4,6a-dimethyl ester, (2S,3S,3aS,6R,6aR)- (CA INDEX NAME)

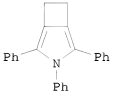
Absolute stereochemistry.



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 52 OF 73 CAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2004:1066156 CAPLUS
DOCUMENT NUMBER: 142:155758
TITLE: 2,3,4-Triphenyl-3-azabicyclo[3.2.0]hepta-1,4-diene - facile ring-opening by electrophiles and novel reactions with dimethyl acetylenedicarboxylate

AUTHOR(S): Matsumoto, Kiyoshi; Goto, Sadahito; Hayashi, Naoto; Iida, Hirokazu; Uchida, Takane; Kakehi, Akikazu
CORPORATE SOURCE: Faculty of Pharmaceutical Sciences, Chiba Institute of Science, Chiba, 288-0025, Japan
SOURCE: European Journal of Organic Chemistry (2004), (22), 4667-4671
CODEN: EJOCFK; ISSN: 1434-193X
PUBLISHER: Wiley-VCH Verlag GmbH & Co. KGaA
DOCUMENT TYPE: Journal
LANGUAGE: English
OTHER SOURCE(S): CASREACT 142:155758
GI

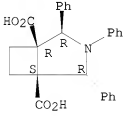


I

AB A 3-azabicyclo[3.2.0]hepta-1,4-diene I with no substituent in the cyclobutene moiety has been prepared I underwent extremely facile electrophilic attack at the β-position to give the ring-opened products, probably by a retro-Friedel-Crafts process. I reacted with di-Me acetylenedicarboxylate to afford the corresponding azepine.

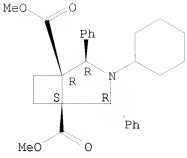
IT 828917-31-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of azabicycloheptanedicarboxylic acids via hydrolysis of azabicycloheptanedicarboxylates)
RN 828917-31-3 CAPLUS
CN 3-Azabicyclo[3.2.0]heptane-1,5-dicarboxylic acid, 2,3,4-triphenyl-, (1R,2R,4R,5S)-rel- (CA INDEX NAME)

Relative stereochemistry.



IT 828917-30-2P
RL: SPN (Synthetic preparation); PREP (Preparation)
(stereoselective preparation of azabicycloheptanedicarboxylates via stereoselective dipolar cycloaddn. of aziridines to cyclobutenedicarboxylate)
RN 828917-30-2 CAPLUS
CN 3-Azabicyclo[3.2.0]heptane-1,5-dicarboxylic acid, 3-cyclohexyl-2,4-diphenyl-, 1,5-dimethyl ester, (1S,2S,4S,5R)-rel- (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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